

# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 137179

**TO:** Richard Schnizer  
**Location:** REM-2C18  
**Art Unit:** 1635  
**November 14, 2004**

**From:** P. Sheppard  
**Location:** Remsen Building  
**Phone:** (571) 272-2529

**sheppard@uspto.gov**

**Case Serial Number:** 09/627787

### Search Notes

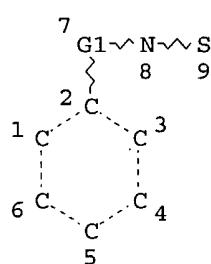
=> fil hcplus  
FILE 'HCAPLUS' ENTERED AT 11:14:35 ON 14 NOV 2004  
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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21  
FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

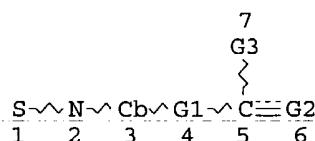
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=>  
  
=> d stat que 151  
L13 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE  
L15 265139 SEA FILE=REGISTRY SSS FUL L13  
L18 STR



VAR G1=O/N  
VAR G2=O/S/NH  
VAR G3=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU

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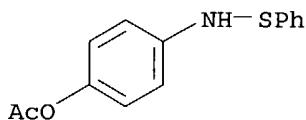
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 L38 SCR 2039 OR 2041 OR 2127 OR 2050 OR 2049 OR 2048 OR 2053 O  
 R 2052 OR 2051  
 L50 4 SEA FILE=REGISTRY SUB=L19 SSS FUL L18 NOT L38  
 L51 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L50

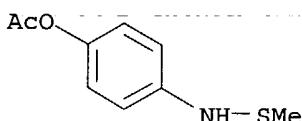
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=> d ibib abs hitstr 151 1-2

L51 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1986:460369 HCAPLUS  
 DOCUMENT NUMBER: 105:60369  
 TITLE: Alkyl- and arylsulfenamides by cycloelimination of propene from N-aryl-S-isopropylsulfimides  
 AUTHOR(S): Claus, Peter K.; Silbernagel, Waltraud; Franek, Walter; Rieder, Werner  
 CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria  
 SOURCE: Monatshefte fuer Chemie (1985), 116(6-7), 841-50  
 CODEN: MOCMB7; ISSN: 0026-9247  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 105:60369  
 AB A series of N-aryl-S-isopropyl-S-alkyl- or arylsulfimides were prepared, isolated as picrates, and transformed into alkyl- or arylsulfenamides, RSNHC<sub>6</sub>H<sub>4</sub>R<sub>1</sub> (R = Et, Me, Pr, Ph; R<sub>1</sub> = 3-Me, 2-Cl, 4-Br, 4-F, 4-Ac, 4-OAc, etc.), by thermal cycloelimination of propene.  
 IT 103375-57-1P 103375-63-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 103375-57-1 HCAPLUS  
 CN Benzenesulfenamide, N-[4-(acetyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 103375-63-9 HCAPLUS  
 CN Methanesulfenamide, N-[4-(acetyloxy)phenyl]- (9CI) (CA INDEX NAME)



L51 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:12433 HCAPLUS

DOCUMENT NUMBER: 68:12433

TITLE: Reactions of trichloromethanesulfenyl chloride with nitrogen compounds. II. Sulfenylation of aliphatic amides

AUTHOR(S): Senning, Alexander

CORPORATE SOURCE: Univ. Aarhus, Aarhus, Den.

SOURCE: Acta Chemica Scandinavica (1947-1973) (1967), 21(6), 1567-74

DOCUMENT TYPE: CODEN: ACSAA4; ISSN: 0001-5393

LANGUAGE: German

OTHER SOURCE(S): CASREACT 68:12433

GI For diagram(s), see printed CA Issue.

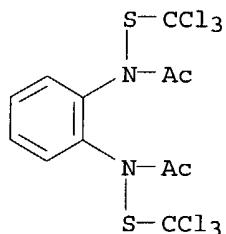
AB Treatment of aliphatic amides with  $\text{CCl}_3\text{SCl}$  gave N-(trichloro-Methanesulfenyl) amides,  $\text{RCONR}'\text{SCCl}_3$ . Similarly p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH<sub>2</sub> formed I, N-alkylformamides formed S-trichloromethylthiocarbamates, and HCONH<sub>2</sub> and diamine derivs. also reacted with  $\text{CCl}_3\text{SCl}$ . The products all had biocidal properties.

IT 18380-39-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 18380-39-7 HCAPLUS

CN Acetamide, N,N'-o-phenylenebis[N-[(trichloromethyl)thiol]- (8CI) (CA INDEX NAME)



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=> fil hcaplus  
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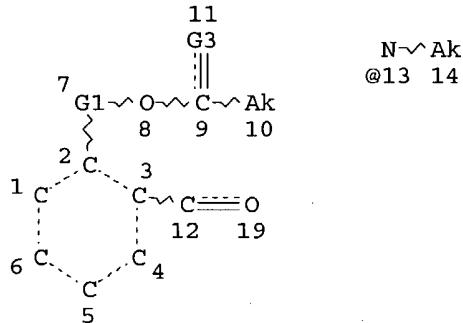
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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21  
FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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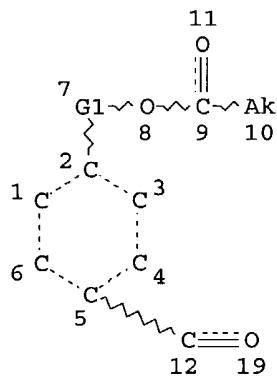
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L1 STR



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NUMBER OF NODES IS 15

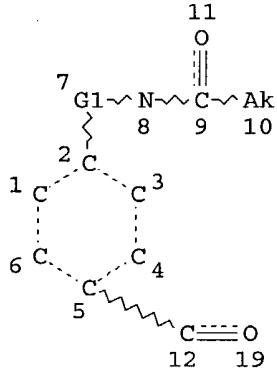
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L3 STR



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 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC I  
 NUMBER OF NODES IS 13

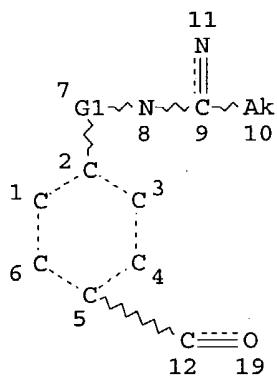
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 L4 15031 SEA FILE=REGISTRY SSS FUL L3  
 L5 STR



REP G1=(0-1) CH2  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC I  
 NUMBER OF NODES IS 13

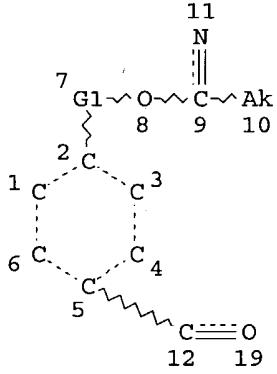
STEREO ATTRIBUTES: NONE  
 L6 37262 SEA FILE=REGISTRY SSS FUL L5  
 L7 STR



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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 13

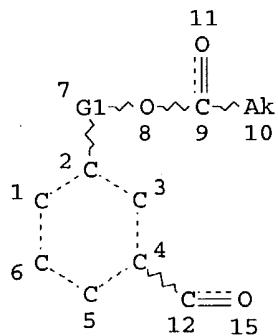
STEREO ATTRIBUTES: NONE  
L8 90 SEA FILE=REGISTRY SSS FUL L7  
L9 STR



REP G1=(0-1) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE  
L23 STR



REP G1=(0-1) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

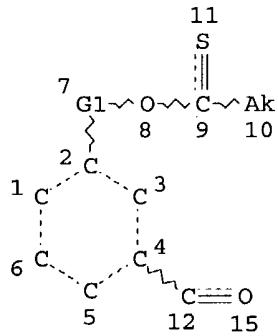
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L24 STR



REP G1=(0-1) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

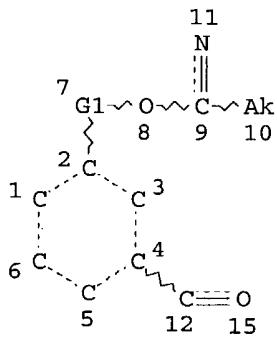
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

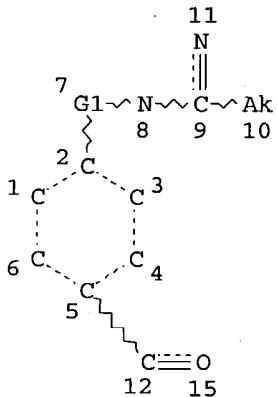
L25 STR



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NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 13

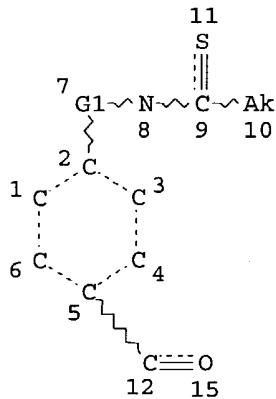
STEREO ATTRIBUTES: NONE  
L26 STR



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NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE  
L27 STR



REP G1=(0-1) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L36	5083	SEA FILE=REGISTRY SSS FUL L23 OR L24 OR L25 OR L26 OR L27
L37	64535	SEA FILE=REGISTRY ABB=ON PLU=ON L2 OR L4 OR L6 OR L8 OR L36
L38		SCR 2039 OR 2041 OR 2127 OR 2050 OR 2049 OR 2048 OR 2053 O
R 2052 OR 2051		
L39	48755	SEA FILE=REGISTRY SUB=L37 SSS FUL (L1 OR L3 OR L5 OR L7 OR L9 OR L23 OR L24 OR L25 OR L26 OR L27) NOT L38
L40	36037	SEA FILE=HCAPLUS ABB=ON PLU=ON L39
L41	29241	SEA FILE=HCAPLUS ABB=ON PLU=ON L40 AND PD=< AUGUST 28, 1999
L42	509	SEA FILE=HCAPLUS ABB=ON PLU=ON L41 AND CONJUGA?
L43	162	SEA FILE=HCAPLUS ABB=ON PLU=ON L42 AND PATENT/DT
L44	9430	SEA FILE=HCAPLUS ABB=ON PLU=ON L39/P
L47	94	SEA FILE=HCAPLUS ABB=ON PLU=ON L44 (L) CONJUGA?
L48	52	SEA FILE=HCAPLUS ABB=ON PLU=ON L47 AND L43

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L48 ANSWER 1 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:792738 HCAPLUS

DOCUMENT NUMBER: 141:266018

TITLE: Covalent polar lipid conjugates with

biologically-active compounds for use in salves

INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.

PATENT ASSIGNEE(S): Oregon Health Sciences University, USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

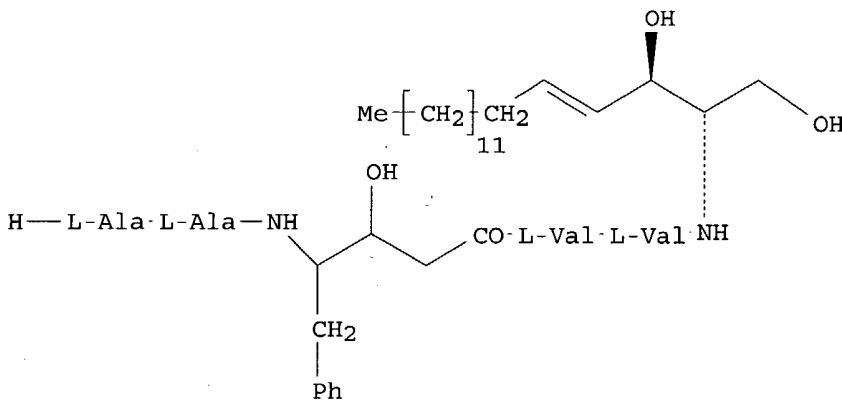
KIND DATE

APPLICATION NO.

DATE

WO 9803204	A1	19980129	WO 1996-US12124	19960723 <--
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2261887	AA	19980129	CA 1996-2261887	19960723 <--
EP 917473	A1	19990526	EP 1996-925431	19960723 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11514009	T2	19991130	JP 1996-506883	19960723
AU 724421	B2	20000921	AU 1996-65945	19960723 <--
AU 9665945	A1	19980210		

PRIORITY APPLN. INFO.: WO 1996-US12124 A 19960723  
GI



AB This invention describes a method of facilitating the entry of drugs into cells and tissues at pharmacokinetically useful levels and also a method of targeting drugs to specific organelles within the cell. This polar lipid/drug conjugate targeting invention embodies an advance over other drug targeting methods because through this method, intracellular drug concns. may reach levels which are orders of magnitude higher than those achieved otherwise. Furthermore, it refines the drug delivery process by allowing therapeutic agents to be directed to certain intracellular structures. This technol. is appropriate for use with antiproliferative, antibiotic, antimycotic, antiviral and antineoplastic drugs, in particular in combination with a multiplicity of other emollients and agents to make up topically-active substances such as salves, for rapid and efficient introduction of such agents through the epidermis for treatment of skin diseases and other disorders. An antiviral HIV-1 protease inhibitor-sphingosine conjugate (I) was prepared Examples were given showing that I and other conjugates showed specific partitioning into defined layers of the skin.

IT 215163-90-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(covalent polar lipid conjugates with biol.-active compds.  
for use in salves)

L48 ANSWER 2 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:652532 HCPLUS

DOCUMENT NUMBER: 141:172870

TITLE: Conjugates of haptens and  $\beta$ -lactam

INVENTOR(S) : derivatives for quantifying haptens in solution and device for implementation thereof  
 Kohl, Michel; Renotte, Roger; Sarlet, Guy; Lejeune, Robert; Granier, Benoit  
 Belg.

PATENT ASSIGNEE(S) : SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 171,819.  
 CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157262	A1	20040812	US 2001-915211	20010725
BE 1010184	A3	19980203	BE 1996-384	19960430 <--
US 6436649	B1	20020820	US 1999-171819	19990611
PRIORITY APPLN. INFO.:			BE 1996-384	A 19960430
			US 1999-171819	A2 19990611
			WO 1997-BE52	W 19970430

AB The present invention is related to a **conjugate** of a hapten to a natural or synthetic  $\beta$ -lactam derivative, comprising at least a side chain, wherein the side chain of the  $\beta$ -lactam derivative is at least partially constitutive of the **conjugating arm**. The invention relates also to a method for the immunoassay of the hapten involving said  $\beta$ -lactam derivative-hapten **conjugate** as an inhibitor for a lactamase or a penicillin detector capable of specific recognition of the  $\beta$ -lactamic moiety of said **conjugate**. The hapten is a steroid, drug of abuse and medicine e.g. nandrolone, testosterone, progesterone, estradiol and cocaine; and the  $\beta$ -lactam derivative is a penicillin derivative or cephalosporin derivative e.g. carbenicillin, oxacillin, cefuroxime, cefotaxime, methicillin, benzylpenicillin and phenoxyethylpenicillin.

IT 198830-23-8P  
 RL: ARU (Analytical role, unclassified); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (**conjugates** of haptens and  $\beta$ -lactam derivs. for quantifying haptens in solution and device for implementation thereof)

IT 198830-21-6P 735331-71-2P 735331-72-3P  
 735331-73-4P 735331-74-5P 735331-75-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (**conjugates** of haptens and  $\beta$ -lactam derivs. for quantifying haptens in solution and device for implementation thereof)

L48 ANSWER 3 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:168856 HCPLUS  
 DOCUMENT NUMBER: 138:170466  
 TITLE: Regioselective solid phase preparation of oligonucleotide-folate **conjugates**  
 INVENTOR(S) : Cook, Phillip Dan; Manoharan, Muthiah; Bhat, Balkrishen  
 PATENT ASSIGNEE(S) : Isis Pharmaceuticals, Inc., USA  
 SOURCE: U.S., 59 pp., Cont.-in-part of U.S.- Ser. No. 117,363.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 136  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6528631	B1	20030304	US 1998-98166	19980616
US 6783931	B1	20040831	US 1993-117363	19930903
AU 713740	B2	19991209	AU 1997-26244	19970624 <--
AU 9726244	A1	19971106		
US 6232463	B1	20010515	US 1998-128508	19980804
US 6335434	B1	20020101	US 1999-275505	19990324
WO 9966063	A2	19991223	WO 1999-US13565	19990616
WO 9966063	A3	20000420		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 1993-117363	A2 19930903
			US 1990-463358	B2 19900111
			US 1990-566977	B2 19900813
			WO 1991-US243	A2 19910111
			US 1991-782374	B2 19911024
			WO 1992-US9196	A2 19921023
			AU 1993-38025	A3 19930225
			US 1997-948151	A1 19971009
			US 1998-98166	A2 19980616
			US 1999-275505	A 19990324

OTHER SOURCE(S): MARPAT 138:170466

AB Oligonucleotide-folate **conjugates** are described wherein folates are **conjugated** to one or more sites on an oligonucleotide including the 2'-, 3'-, 5'-, nucleobase and internucleotide linkage sites. The folate can be attached via the  $\alpha$ - or  $\gamma$ -carboxylate, optionally through a linking group. Methods for the regiospecific synthesis of the **conjugates** are disclosed. Thus, 5'-O-DMT-2'-O-aminoethyl-5-methyl-uridine-N2-ibu-N10-trifluoroacetyl- $\alpha$ -allyl-folic acid- $\gamma$ - **conjugate** 3'-phosphoramidite was prepared and incorporated into oligodeoxyribonucleotides.

IT 37793-53-6 252847-35-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(regioselective solid phase preparation of oligonucleotide-folate **conjugates**)

IT 252847-41-9P 252847-43-1P 252847-47-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(regioselective solid phase preparation of oligonucleotide-folate **conjugates**)

IT 252847-30-6P 252847-36-2P 252847-40-8P

252847-42-0P 252847-44-2P 252847-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(regioselective solid phase preparation of oligonucleotide-folate **conjugates**)

REFERENCE COUNT: 246 THERE ARE 246 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 4 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:905731 HCPLUS

DOCUMENT NUMBER: 138:14152

TITLE: Preparation of enzymic ribonucleic acid peptide

**conjugates as antitumor and antiviral agents  
and compositions for cellular delivery**  
**INVENTOR(S) :**  
 Beigelman, Leonid; Matulic-Adamic, Jasenka; Vargeese,  
 Chandra; Karpeisky, Alexander; Blatt, Lawrence;  
 Shaffer, Christopher

**PATENT ASSIGNEE(S) :**  
**SOURCE:**  
 Ribozyme Pharmaceuticals, Inc, USA  
 PCT Int. Appl., 220 pp.

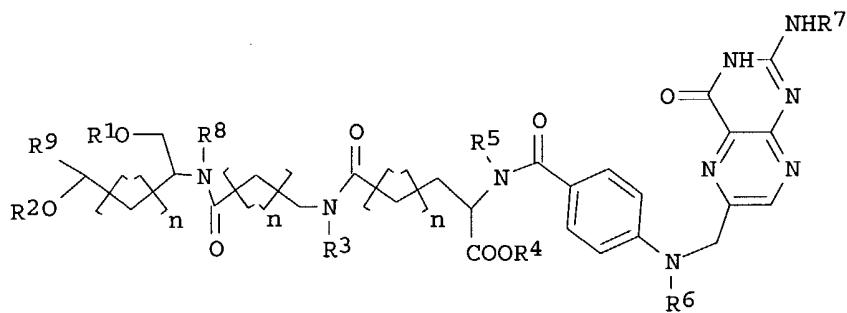
**DOCUMENT TYPE:** Patent

**LANGUAGE:** English

**FAMILY ACC. NUM. COUNT:** 130

**PATENT INFORMATION:**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094185	A2	20021128	WO 2002-US15876	20020520
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 9851819	A1	19980611	AU 1998-51819	19980112 <--
AU 729657	B2	20010208		
AU 9939188	A1	19990916	AU 1999-39188	19990713
AU 769175	B2	20040115	AU 2000-56616	20000911
US 2003104985	A1	20030605	US 2002-151116	20020517
US 2003130186	A1	20030710	US 2002-201394	20020722
US 2004110296	A1	20040610	US 2003-427160	20030430
US 2004192626	A1	20040930	US 2003-444853	20030523
<b>PRIORITY APPLN. INFO.:</b>				
		US 2001-292217P	P	20010518
		US 2001-306883P	P	20010720
		US 2001-311865P	P	20010813
		US 2002-362016P	P	20020306
		AU 1995-26422	A3	19950518
		US 1996-623891	A	19960325
		AU 1996-76662	A3	19961025
		US 2002-358580P	P	20020220
		US 2002-363124P	P	20020311
		WO 2002-US15876	A2	20020520
		US 2002-386782P	P	20020606
		US 2002-406784P	P	20020829
		US 2002-408378P	P	20020905
		US 2002-409293P	P	20020909
		US 2003-440129P	P	20030115
		WO 2003-US5028	A2	20030220
		WO 2003-US5346	A2	20030220
		US 2003-417012	A1	20030416
		US 2003-422704	A2	20030424
		US 2003-427160	A2	20030430



AB This invention features peptide nucleotide **conjugates** I wherein each R1-R8 are independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, or a protecting group, each "n" is independently an integer from 0 to about 200, R9 is a straight or branched chain alkyl, substituted alkyl, aryl, or substituted aryl, and R2 is a phosphorus containing group, nucleoside, nucleotide, small mol., nucleic acid, or a solid support comprising a linker., degradable linkers, compns., methods of synthesis, and applications thereof, including folate, galactose, galactosamine, N-acetyl galactosamine, PEG, phospholipid, peptide and human serum albumin (HAS) derived **conjugates** of biol. active compds., including antibodies, antivirals, chemotherapeutics, peptides, proteins, hormones nucleosides, nucleotides, non-nucleosides, and nucleic acids including enzymic nucleic acids, DNAzymes, allozymes, antisense, dsRNA, siRNA, triplex oligonucleotides, 2,5-A chimeras, decoys and aptamers. Thus, 1-O-(4-monomethoxytrityl)-N-(12'-hydroxydodecanoyl-2-acetamido-3,4,6-tri-O-acetyl-2-deoxy-3-D-galactopyranose)-D-threoninol 3-O-(2-cyanoethyl,N,N-diisopropylphosphorami-dite) was prepared and incorporated into RNA. A method of treating a cancer patient, comprising contacting cells of patient wherein said cancer is breast cancer, lung cancer, colorectal cancer, brain cancer, esophageal cancer, stomach cancer, bladder cancer, pancreatic cancer, cervical cancer, head and neck cancer, ovarian cancer, melanoma, lymphoma, glioma, or multidrug resistant cancers and/or viral infections including HIV, HBV, HCV, CMV, RSV, HSV, poliovirus, influenza, rhinovirus, west nile virus, Ebola virus, foot and mouth virus, and papilloma.

IT 252847-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of enzymic RNA peptide **conjugates** as antitumor and antiviral agents and compns. for cellular delivery)

IT 449807-24-3P 449807-25-4P 449807-26-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of enzymic RNA peptide **conjugates** as antitumor and antiviral agents and compns. for cellular delivery)

L48 ANSWER 5 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:6385 HCPLUS

DOCUMENT NUMBER: 136:86030

TITLE: Preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate **conjugates**

INVENTOR(S): Guzaev, Andrei P.; Cook, Phillip Dan; Manoharan, Muthiah; Bhat, Balkrishen

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: U.S., 88 pp., Cont.-in-part of U. S. Ser. No. 98,166.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 136

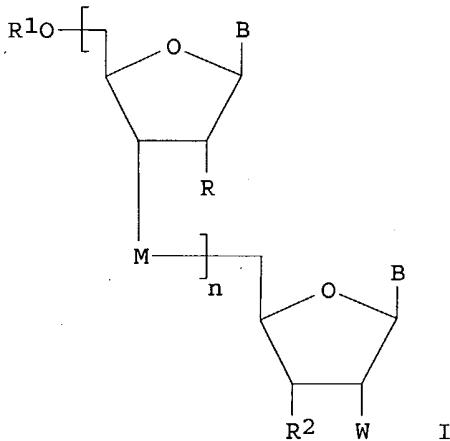
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6335434	B1	20020101	US 1999-275505	19990324
AU 713740	B2	19991209	AU 1997-26244	19970624 <--
AU 9726244	A1	19971106		
US 6528631	B1	20030304	US 1998-98166	19980616
US 6232463	B1	20010515	US 1998-128508	19980804
WO 9966063	A2	19991223	WO 1999-US13565	19990616
WO 9966063	A3	20000420		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002049163	A1	20020425	US 2001-973981	20011009
PRIORITY APPLN. INFO.:			US 1998-98166	A2 19980616
			AU 1993-38025	A3 19930225
			US 1993-117363	A2 19930903
			US 1997-948151	A1 19971009
			US 1999-275505	A 19990324

OTHER SOURCE(S) :

MARPAT 136:86030

GI



AB Oligonucleotide-folate **conjugates I** wherein B is a nucleobase; R is aminoxyalkoxy; R1 is H, hydroxyl protecting group; R2 is H, phosphoramidite; M is optionally protected internucleoside linkage; W is non-nucleosidic linker substituted heteroaryl; are described wherein folates are **conjugated** to one or more sites on an oligonucleotide including the 2'-, 3'-, 5'-nucleobase and internucleotide linkage sites. The folate can be attached via the  $\alpha$ - or  $\gamma$ -carboxylate, optionally through a linking group. Also disclosed are nucleosidic and non-nucleosidic linkers **conjugated** to folic acid and related folates. Thus, 5'-O-DMT-2'-O-aminoethyl-5-methyl-uridine-

N2-ibu-N10-trifluoroacetyl-a-allyl-folic acid-g-conjugate  
3'-phosphoramidite was prepared and incorporated into  
oligodeoxyribonucleotides.

IT 252847-30-6P 252847-35-1P 252847-36-2P  
252847-40-8P 252847-41-9P 252847-42-0P  
252847-43-1P 252847-44-2P 252847-47-5P  
252847-48-6P 252847-67-9P 252847-68-0P  
252847-69-1P 252847-70-4P 383898-20-2P  
383898-21-3P 383898-22-4DP, CPG polymer support  
383898-22-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate conjugates)

IT 37793-53-6 383898-24-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate conjugates)

REFERENCE COUNT: 250 THERE ARE 250 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 6 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:855780 HCPLUS

DOCUMENT NUMBER: 134:29208

TITLE: Preparation of reagents suitable for the modification of a bioactive species for the purpose of incorporating a bifunctional boronic compound complexing moiety for subsequent conjugation to bioactive species.

INVENTOR(S): Ahlem, Clarence N.; Kaiser, Robert J.; Lund, Kevin P.;

Stolowitz, Mark L.

PATENT ASSIGNEE(S): Prolinx, Inc., USA

SOURCE: U.S., 40 pp., Cont.-in-part of U.S. 5,877,297.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

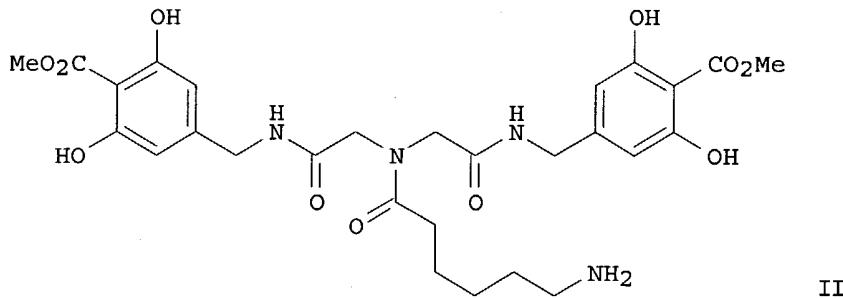
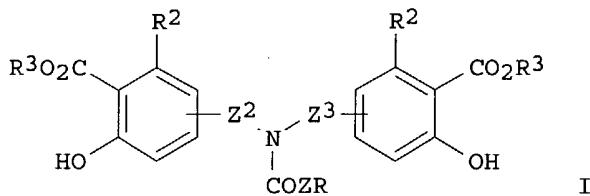
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6156884	A	20001205	US 1998-222468	19981229
US 5777148	A	19980707	US 1996-691930	19960805 <--
US 5837878	A	19981117	US 1996-689283	19960805 <--
US 5872224	A	19990216	US 1997-956194	19971022 <--
US 5877297	A	19990302	US 1997-956196	19971022 <--
US 6414122	B1	20020702	US 2000-651007	20000829
US 2003105280	A1	20030605	US 2002-184836	20020628
PRIORITY APPLN. INFO.:			US 1996-689283	A3 19960805
			US 1996-691930	A3 19960805
			US 1997-956194	A2 19971022
			US 1997-956196	A2 19971022
			US 1994-188531	A2 19940128
			US 1998-222468	A3 19981229
			US 2000-651007	A1 20000829

OTHER SOURCE(S): MARPAT 134:29208

GI



AB Title reagents [I; R = electrophilic or nucleophilic moiety suitable for reaction with a biol. active species; R2 = H, OH; R3 = alkyl, methylene bearing an electroneg. substituent; Z = (CH2)*n*, CH2O(CH2CH2O)*n*2; *n* = 1-5; *n*2 = 1-4; Z2, Z3 = CH2, CH2CONHCH2, CH2CONH(CH2)*n*3, CONHCH2, (CH2)*n*4NHCO(CH2)*n*5CONHCH2; *n*3 = 1-5; *n*4 = 2, 3; *n*5 = 1-4], were prepared (no data). Thus, 2-[6-[(tert-butoxy)carbonylamino]-N-(carboxymethyl)hexanoylamino]acetic acid in DMF was treated with N-hydroxysuccinimide and DCC followed by 16 h stirring; Me 4-(aminomethyl)-2,6-dihydroxybenzoic acid hydrochloride (preparation given) and diisopropylethylamine in DMF were added followed by 8 h stirring to give 62% protected coupling product, which was stirred with CF3CO2H in CH2Cl2 to give 97% title compound (II) as the TFA salt.

IT 311343-89-2P 311343-95-0P 311344-01-1P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of reagents suitable for the modification of a bioactive species for the purpose of incorporating a bifunctional boronic compound complexing moiety for subsequent **conjugation** to bioactive species)

IT 202927-19-3 311344-02-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of reagents suitable for the modification of a bioactive species for the purpose of incorporating a bifunctional boronic compound complexing moiety for subsequent **conjugation** to bioactive species)

IT 17492-27-2P 102821-32-9P 202926-49-6P

202926-51-0P 202926-61-2P 202926-64-5P

202926-70-3P 203629-00-9P 203629-03-2P

203629-04-3P 311343-87-0P 311343-90-5P

311343-93-8P 311343-94-9P 311343-98-3P

311343-99-4P 311344-00-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of reagents suitable for the modification of a bioactive species for the purpose of incorporating a bifunctional boronic compound complexing moiety for subsequent **conjugation** to bioactive species)

REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 7 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:307077 HCAPLUS  
 DOCUMENT NUMBER: 132:320935  
 TITLE: Induction of humoral anergy using immunogen conjugates lacking T-cell epitopes  
 INVENTOR(S): Coutts, Stephen M.; Barstad, Paul A.; Iverson, G. Michael; Jones, David S.  
 PATENT ASSIGNEE(S): La Jolla Pharmaceutical Company, USA  
 SOURCE: U.S., 30 pp., Cont.-in-part of U.S. 5,268,454.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060056	A	20000509	US 1993-118055	19930908
US 5268454	A	19931207	US 1991-652648	19910208 <--
CA 2076648	AA	19920809	CA 1992-2076648	19920204 <--
CA 2076648	C	19990817		
WO 9213558	A1	19920820	WO 1992-US975	19920204 <--
W: AU, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU				
AU 9214118	A1	19920907	AU 1992-14118	19920204 <--
AU 646157	B2	19940210		
JP 05508421	T2	19931125	JP 1992-505775	19920204 <--
JP 2544873	B2	19961016		
CA 2277724	C	20030527	CA 1992-2277724	19920204
AT 142109	E	19960915	AT 1992-301036	19920207 <--
ES 2094287	T3	19970116	ES 1992-301036	19920207 <--
US 5552391	A	19960903	US 1993-152506	19931115 <--
JP 07126186	A2	19950516	JP 1993-298747	19931129 <--
JP 2002087991	A2	20020327	JP 2001-197540	19931129
EP 642798	A2	19950315	EP 1993-309720	19931203 <--
EP 642798	A3	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2171434	AA	19950316	CA 1994-2171434	19940908 <--
WO 9507073	A1	19950316	WO 1994-US10031	19940908 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9477209	A1	19950327	AU 1994-77209	19940908 <--
AU 677710	B2	19970501		
EP 722318	A1	19960724	EP 1994-928016	19940908 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1134109	A	19961023	CN 1994-193993	19940908 <--
JP 09500389	T2	19970114	JP 1995-508766	19940908 <--
JP 2002085062	A2	20020326	JP 2001-214569	19940908
US 5606047	A	19970225	US 1995-453254	19950530 <--
US 5633395	A	19970527	US 1995-453452	19950530 <--
NO 9600952	A	19960502	NO 1996-952	19960307 <--
FI 9601100	A	19960508	FI 1996-1100	19960308 <--
US 2002082400	A1	20020627	US 2000-753350	20001229
US 2002107389	A1	20020808	US 2000-752533	20001229
US 2003103990	A1	20030605	US 2002-81076	20020220
US 2003162953	A1	20030828	US 2002-144391	20020510
PRIORITY APPLN. INFO.:			US 1991-652648	A2 19910208
			US 1990-466138	B2 19900116

US 1990-494118	A2 19900313
CA 1992-2076648	A3 19920204
WO 1992-US975	A 19920204
US 1992-914869	A2 19920715
US 1993-118055	A2 19930908
US 1993-142598	A 19931022
US 1993-152506	A 19931115
EP 1993-309288	A 19931122
JP 1993-298747	A3 19931129
JP 1995-508766	A3 19940908
WO 1994-US10031	W 19940908
US 1995-453254	A3 19950530
US 1996-769041	A1 19961218
US 2000-563167	B1 20000502

AB The authors disclose the preparation of **conjugates** of non-immunogenic carrier mols. with B-cell epitopes that possess ability to suppress antigen-specific antibody responses. In one example, mice were primed with the main immunogenic region of the acetylcholine receptor. Subsequent immunization of these mice with a B-cell epitope peptide, lacking the ability to activate primed T-cells, led to a specific suppression of the anti-receptor antibody response. In a second example, mice were primed with the bee venom allergen, mellitin. Immunization with peptides **conjugated** to lysine-glutamate copolymer suppressed the anti-mellitin response.

IT 5434-66-2P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and condensation with aminoethylcarbamoyl polyethylene glycol)

IT 181469-52-3P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and **conjugation** to B-cell epitopes)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 8 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:811380 HCPLUS

DOCUMENT NUMBER: 132:50215

TITLE: Preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate **conjugates**

INVENTOR(S): Manoharan, Muthiah; Bhat, Balkrishen; Cook, Phillip Dan; Guzaev, Andrei P.

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 136

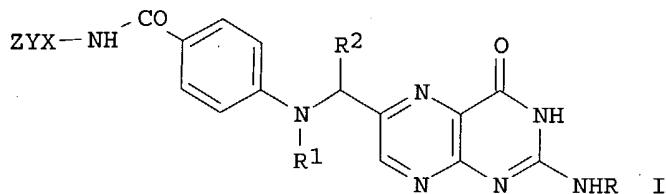
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966063	A2	19991223	WO 1999-US13565	19990616
WO 9966063	A3	20000420		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				

CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 713740	B2 19991209	AU 1997-26244	19970624 <--
AU 9726244	A1 19971106		
US 6528631	B1 20030304	US 1998-98166	19980616
US 6232463	B1 20010515	US 1998-128508	19980804
US 6335434	B1 20020101	US 1999-275505	19990324
PRIORITY APPLN. INFO.:		US 1998-98166	A 19980616
		US 1999-275505	A 19990324
		AU 1993-38025	A3 19930225
		US 1993-117363	A2 19930903
		US 1997-948151	A1 19971009

OTHER SOURCE(S): MARPAT 132:50215

GI



AB Oligonucleotide-folate **conjugates** I wherein: X is the side chain of a naturally-occurring or non-naturally-occurring amino acid, or a protected side chain of a naturally-occurring or non-naturally-occurring amino acid, substituted alkyl; Y is N(Z1)C(O), C(O)NH, NHC(O), OC(O)NH, C(S)NH, SC(S)NH, SC(O)NH, OC(S)NH, C(O)O, C(O)(CH<sub>2</sub>)<sub>n</sub> or a bond; n is an integer from 1 to 50; each Z and Z1 is, independently, hydrogen or a hydrocarbyl group selected from alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, fused cycloalkyl, heterocycle, heterocyclalkyl, heteroaryl and heteroarylalkyl; wherein said hydrocarbyl group is substituted with at least two hydroxyl groups, and is optionally substituted with oxo, acyl, alkoxy, alkoxy carbonyl, alkyl, alkenyl, alkynyl, amino, amido, azido, aryl, heteroaryl, carboxylic acid, cyano, guanidino, halo, haloalkyl, haloalkoxy, hydrazino, ODMT, alkylsulfonyl, nitro, sulfide, disulfide, sulfone, sulfonate, sulfonamide, thiol, and thioalkoxy; R is H, amino protecting group; R1 is hydrogen, alkyl, alkenyl, alkynyl, aryl or an amino-protecting group; R2 is hydrogen, alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, formyl, aminoalkyl, hydroxymethylare described wherein folates are **conjugated** to one or more sites on an oligonucleotide including the 2'-, 3'-, 5'-, nucleobase and internucleotide linkage sites. The folate can be attached via the α- or γ-carboxylate, optionally through a linking group. Methods for the regiospecific synthesis of the **conjugates** are disclosed. Also disclosed are nucleosidic and non-nucleosidic linkers **conjugated** to folic acid and related folates. Thus, [N6-Benzoyl-5'-O-(4,4'-dimethoxytrityl)-adenylyl]-2'-O-(pentylamino)-N2-isobutyryl-N1-trifluoroacetyl-a-O-methyl-folic acid was prepared and incorporated into oligodeoxyribonucleotides.

IT 37793-53-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate **conjugates**)

IT 252847-30-6P 252847-35-1P 252847-36-2P  
252847-40-8P 252847-41-9P 252847-42-0P  
252847-43-1P 252847-44-2P 252847-47-5P  
252847-48-6P 252847-62-4P 252847-63-5P  
252847-64-6DP, controlled pore glass bound 252847-64-6P  
252847-67-9P 252847-68-0P 252847-69-1P

**252847-70-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleosidic and non-nucleosidic oligodeoxyribonucleotide-folate conjugates)

L48 ANSWER 9 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:808603 HCAPLUS

DOCUMENT NUMBER: 132:30811

TITLE: Propoxyphe derivatives for immunoassay reagents

INVENTOR(S): Wu, Robert Sundoro

PATENT ASSIGNEE(S): Roche Diagnostics Corporation, USA

SOURCE: U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 444,472, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6004824	A	19991221	US 1997-886800	19970702
CA 2175862	AA	19961120	CA 1996-2175862	19960506 <--
JP 08333396	A2	19961217	JP 1996-123819	19960517 <--
US 5817529	A	19981006	US 1997-843136	19970428 <--
			US 1995-444472	19950519

PRIORITY APPLN. INFO.: MARPAT 132:30811

AB Hapten derivs. are provided that are useful for the preparation of antigenic, antibody and label reagents having superior performance characteristics for use in immunoassays for the detection of d-propoxyphe and d-nor-propoxyphe. In the present invention the propoxyphe nucleus is derivatized out of the nitrogen center to form an aminoalkyl-carboxyl, or -hydroxyl haptenic derivative. The resulting hapten can then be further modified at the now functionalized position off the nitrogen for linking to an appropriate antigenic or labeling group to provide reagents for propoxyphe immunoassays having excellent sensitivity and selectivity for both d-propoxyphe and d-nor-propoxyphe.

IT 185121-72-6P 185121-73-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; propoxyphe derivs. for immunoassay reagents)

IT 185121-73-7DP, albumin conjugates

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(propoxyphe derivs. for immunoassay reagents)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 10 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:282217 HCAPLUS

DOCUMENT NUMBER: 130:297002

TITLE: Use of pteroyl azide intermediates in preparation of folic acid-drug conjugates

INVENTOR(S): Fuchs, Philip L.; Luo, Jin; Lantrip, Douglas A.

PATENT ASSIGNEE(S): Purdue Research Foundation, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

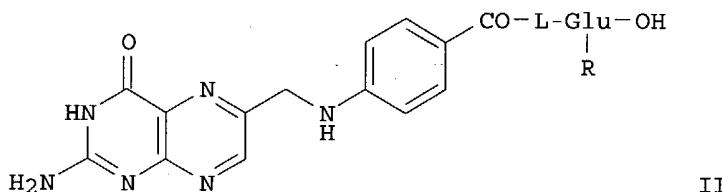
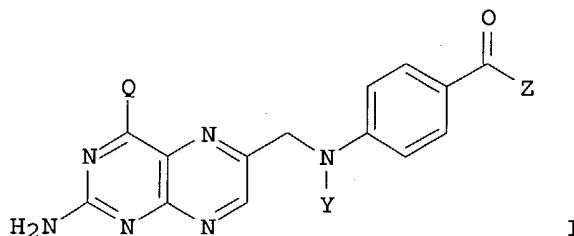
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920626	A1	19990429	WO 1998-US21914	19981016 <--
W: AU, BR, CA, CN, JP, KR, MX, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9910957	A1	19990510	AU 1999-10957	19981016 <--
US 6291673	B1	20010918	US 2000-529682	20000417
PRIORITY APPLN. INFO.:			US 1997-62009P	P 19971017
			WO 1998-US21914	W 19981016
OTHER SOURCE(S):	MARPAT 130:297002			
GI				



AB Novel folic acid derivs. I (Q = OH, NH<sub>2</sub>; Y = H, NO, C1-4 alkyl, C1-4 alkanoyl, halo-substituted C1-4 alkanoyl; Z = NHNNH<sub>2</sub>, pyroglutamate group, with the proviso that if Z = pyroglutamate, then Y ≠ Ac or CF<sub>3</sub>CO) and their use in preparation of γ-esters of folic acid via pteroyl azide intermediates I (Z = N<sub>3</sub>) are described. Folic acid γ-esters I [Z = NHCH(CO<sub>2</sub>H)CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>R; R = alkyl] are useful intermediates in the synthesis of folic acid conjugates capable of binding folate receptors in vitro and in vivo. Thus, treatment of folic acid (II; R = OH) with excess trifluoroacetic anhydride gave racemic pyroglutamate derivative I (Q = OH, Y = H, Z = DL-pyroglutamyl) (III) in quant. yield. Hydrazinolysis of III in DMSO gave 91% hydrazide I (Q = OH, Y = H, Z = NHNNH<sub>2</sub>), which was converted to the corresponding azide with tert-Bu nitrite and CF<sub>3</sub>CO<sub>2</sub>H and coupled with γ-Me L-glutamate to give 88% γ-Me folate II (R = OMe). Selective amidation of γ ester II (R = OMe) with ethylenediamine gave aminoethyl derivative II (R = NHCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>), which was reacted with DTPA anhydride and treated with aqueous NaOH to give DTPA-folate II [R = NHCH<sub>2</sub>CH<sub>2</sub>NHC(O)CH<sub>2</sub>[N(CH<sub>2</sub>CO<sub>2</sub>H)CH<sub>2</sub>CH<sub>2</sub>]<sub>3</sub>CH<sub>2</sub>CO<sub>2</sub>H] (IV). The DTPA-folate was complexed with <sup>111</sup>In by ligand exchange with <sup>111</sup>In citrate and its cellular uptake and biodistribution measured.

IT 223378-66-3P 223378-67-4P 223378-68-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(pteroyl azide intermediates in preparation of folic acid-drug conjugates)

IT 37793-53-6P 197151-78-3P 223378-82-3P  
223378-84-5PRL: SPN (Synthetic preparation); PREP (Preparation)  
(pteroyl azide intermediates in preparation of folic acid-drug conjugates)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 11 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:166639 HCAPLUS

DOCUMENT NUMBER: 130:209984

TITLE: Synthesis of cyclosporin A conjugates for treatment of neurological disorders

INVENTOR(S): Rich, Daniel H.; Solomon, Michael E.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910374	A1	19990304	WO 1998-US17544	19980825 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892038	A1	19990316	AU 1998-92038	19980825 <--
US 6316405	B1	20011113	US 1999-242724	19990222
PRIORITY APPLN. INFO.:			US 1997-57751P	P 19970826
			WO 1998-US17544	W 19980825

OTHER SOURCE(S): MARPAT 130:209984

AB Cyclosporin A (CsA) conjugates, cyclo(V-Abu-W-X-Val-X'-Y(Z)-D-Ala-MeLeu-MeLeu-MeVal) [V = MeLeu(3-OH), MeLeu, MeSer, MeSer-PG, MeThr, MeThr-PG, where PG is a side-chain protecting group; W = D-N-Me amino acid or N-methylglycyl residue; X, X' = N-methylleucinyl or N-methylalanyl residue; Y = lysyl, homo-lysyl, ornithinyl, lysyl-PG, homo-lysyl-PG, or ornithinyl-PG residue; Z is a polypeptide comprising 5 or more contiguous residues of A $\beta$  peptide], were prepared for the treatment of neurologic disorders. Thus, the synthesis of Ac-EKLVFF-NH<sub>2</sub>/[MeLeu(3-OH)1,D-MeAla4,6,Lys7]CsA conjugate is described.

IT 152754-61-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of cyclosporin A conjugates for treatment of neurologic disorders)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 12 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:77464 HCAPLUS

DOCUMENT NUMBER: 130:158447

TITLE: Therapeutic hemoglobin-polysaccharide complexes having

INVENTOR(S) : isotropically increased size and masked antigenicity  
 Hai, Ton That; Pereira, David E.; Nelson, Deanna J.  
 PATENT ASSIGNEE(S) : Baxter International Inc., USA  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903484	A1	19990128	WO 1998-US12941	19980622 <--
W: AU, CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5981710	A	19991109	US 1997-896743	19970721
AU 9881586	A1	19990210	AU 1998-81586	19980622 <--
AU 742849	B2	20020117		
EP 1017405	A1	20000712	EP 1998-931462	19980622
R: DE, FR, GB				
JP 2001510202	T2	20010731	JP 2000-502782	19980622
PRIORITY APPLN. INFO.:			US 1997-896743	A 19970721
			WO 1998-US12941	W 19980622

AB Novel polysaccharide compds. are disclosed for decorating biomol. surfaces to increase isotropic size and mask antigenicity. The oligosaccharides may be synthesized as repeating disaccharide units, or may be derived by acid hydrolysis of naturally occurring polysaccharides. Such natural sources include chondroitins obtained from shark cartilage, or hyaluronic acid. The polyanionic sulfate groups contained in the sugar moieties impart neg. charges which repel the mols. from the neg. charged wall of capillaries, to lengthen retention times of decorated drug mols., such as cross-linked Hb, in the peripheral circulation.

IT 578-19-8DP, DiAspirin, Hb conjugates

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(crosslinker; therapeutic Hb-polysaccharide complexes having isotropically increased size and masked antigenicity)

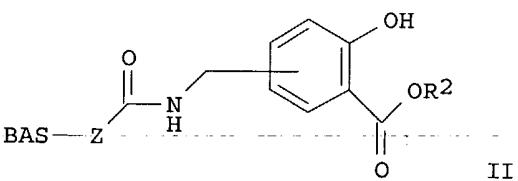
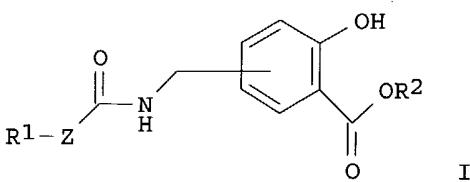
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 13 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1998:788782 HCPLUS  
 DOCUMENT NUMBER: 130:49525  
 TITLE: Boronic compound complexing reagents and complexes for bioconjugate preparation  
 INVENTOR(S) : Stolowitz, Mark L.; Kaiser, Robert J.; Lund, Kevin P.; Torkelson, Steven M.  
 PATENT ASSIGNEE(S) : Prolinx, Inc., USA; Systemix  
 SOURCE: U.S., 26 pp., Cont.-in-part of U.S. 5,594,151.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847192	A	19981208	US 1996-689341	19960805 <--
US 5594151	A	19970114	US 1994-188531	19940128 <--

US 5648470	A	19970715	US 1995-472851	19950607 <--
US 5668257	A	19970916	US 1995-482883	19950607 <--
US 5668258	A	19970916	US 1995-486714	19950607 <--
US 5688928	A	19971118	US 1995-480970	19950607 <--
US 6008406	A	19991228	US 1997-805451	19970225
CA 2262451	AA	19980212	CA 1997-2262451	19970724 <--
WO 9805629	A1	19980212	WO 1997-US13143	19970724 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9737402	A1	19980225	AU 1997-37402	19970724 <--
AU 727144	B2	20001207		
EP 920413	A1	19990609	EP 1997-934313	19970724 <--
EP 920413	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001507333	T2	20010605	JP 1998-507992	19970724
AT 227704	E	20021115	AT 1997-934313	19970724
PT 920413	T	20030331	PT 1997-934313	19970724
ES 2187800	T3	20030616	ES 1997-934313	19970724
US 5869623	A	19990209	US 1997-956204	19971022 <--
US 6075126	A	20000613	US 1998-138105	19980821
US 6124471	A	20000926	US 1999-407673	19990928
US 6462179	B1	20021008	US 2000-625231	20000725
PRIORITY APPLN. INFO.:				
US 1994-188531 A2 19940128				
US 1995-488193 B1 19950607				
US 1996-689283 A2 19960805				
US 1996-689341 A 19960805				
US 1996-691929 A 19960805				
WO 1997-US13143 W 19970724				
US 1998-138105 A3 19980821				
US 1999-407673 A3 19990928				

OTHER SOURCE(S) : MARPAT 130:49525  
GI



AB Boron compound complexing reagents and methods of synthesizing these

reagents are disclosed. These reagents, including I and II (R1 = electrophilic or nucleophilic acrylamide, amino, Br, etc.; R2 = alkyl, methylene bearing electroneg. moiety; Z = spacer (further defined); BAS = biol. active species) may be used, after further reactions described herein, to complex with boronic compds., such as phenylboronic acid or derivs. thereof. Phenylboronic acid-alkaline phosphatase **conjugate** (preparation given) was immobilized on salicylhydroxamic acid magnetic beads (preparation given).

IT 217174-35-1DP, reaction products with magnetic beads  
 RL: ARG (Analytical reagent use); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (boronic compound complexing reagents and complexes for bioconjugate preparation)

IT 217174-33-9 217174-36-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (boronic compound complexing reagents and complexes for bioconjugate preparation)

IT 202926-54-3P 202926-60-1P 202926-61-2P  
 202926-64-5P 202926-65-6P 202926-70-3P  
 202926-71-4P 202927-19-3DP, **conjugates** with antibody 202927-19-3P 217174-34-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (boronic compound complexing reagents and complexes for bioconjugate preparation)

IT 202926-49-6P 202926-51-0P 202926-52-1P  
 202926-53-2P 202926-55-4P 202926-56-5P  
 202926-59-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (boronic compound complexing reagents and complexes for bioconjugate preparation)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 14 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:752264 HCPLUS

DOCUMENT NUMBER: 130:22523

TITLE: Boron compound complexing reagents and highly stable complexes

INVENTOR(S): Stolowitz, Mark L.; Kaiser, Robert J.; Lund, Kevin P.

PATENT ASSIGNEE(S): Prolinx Inc, USA

SOURCE: U.S., 38 pp., Cont.-in-part of U. S. 5,594,151.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5837878	A	19981117	US 1996-689283	19960805 <--
US 5594151	A	19970114	US 1994-188531	19940128 <--
US 5648470	A	19970715	US 1995-472851	19950607 <--
US 5668257	A	19970916	US 1995-482883	19950607 <--
US 5668258	A	19970916	US 1995-486714	19950607 <--
US 5688928	A	19971118	US 1995-480970	19950607 <--
US 6008406	A	19991228	US 1997-805451	19970225
CA 2262682	AA	19980212	CA 1997-2262682	19970724 <--
WO 9805627	A1	19980212	WO 1997-US13314	19970724 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
 CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, GH, HU, IL, IS,

JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL,  
 TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG

AU 9738179	A1	19980225	AU 1997-38179	19970724 <--
AU 726875	B2	20001123		
EP 915832	A1	19990519	EP 1997-935178	19970724 <--
EP 915832	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501592	T2	20010206	JP 1998-508027	19970724
RU 2171250	C2	20010727	RU 1999-103923	19970724
AT 225330	E	20021015	AT 1997-935178	19970724
PT 915832	T	20030228	PT 1997-935178	19970724
ES 2185964	T3	20030501	ES 1997-935178	19970724
US 5872224	A	19990216	US 1997-956194	19971022 <--
US 6075126	A	20000613	US 1998-138105	19980821
US 6156884	A	20001205	US 1998-222468	19981229
US 6124471	A	20000926	US 1999-407673	19990928
US 6462179	B1	20021008	US 2000-625231	20000725
US 6414122	B1	20020702	US 2000-651007	20000829
US 2003105280	A1	20030605	US 2002-184836	20020628

## PRIORITY APPLN. INFO.:

US 1994-188531	A2	19940128
US 1995-488193	B1	19950607
US 1996-689283	A	19960805
US 1996-689341	A2	19960805
US 1996-691930	A	19960805
WO 1997-US13314	W	19970724
US 1997-956194	A2	19971022
US 1997-956196	A2	19971022
US 1998-138105	A3	19980821
US 1998-222468	A3	19981229
US 1999-407673	A3	19990928
US 2000-651007	A1	20000829

## OTHER SOURCE(S) : MARPAT 130:22523

AB Boron compound complexing reagents, boron compound complexes, and methods of synthesizing these reagents and complexes are disclosed. These reagents may be used to produce, after condensation with a bioactive species, to obtain reagents which in turn form complexes with a boron compound. Thus, cyanomethyl 4-aminomethylsalicylate-HCl was allowed to react with 3-(2-pyridylidithio)propionic acid N-hydroxysuccinimide ester in DMF solution in the presence of N,N-diisopropylethylamine to give cyanomethyl 4-(3-(2-pyridylidithio)propionyl)aminomethylsalicylate.

IT 202926-49-6P 202926-51-0P 202926-52-1P  
 202926-53-2P 202926-55-4P 202926-56-5P  
 202926-59-8P 203628-99-3P 203629-00-9P  
 203629-01-0P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)  
 (preparation of boron compound complexing reagents and complexes)

IT 202927-19-3DP, conjugates with bioactive compds.  
 203629-00-9DP, conjugates with bioactive compds.  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of boron compound complexing reagents and complexes)  
 IT 17492-27-2P 102821-32-9P 202926-60-1P  
 202926-61-2P 202926-64-5P 202926-65-6P  
 202926-71-4P 202926-72-5P 202927-19-3P  
 203629-03-2P 203629-04-3P 203629-05-4P  
 203629-06-5P 216066-56-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of boron compound complexing reagents and complexes)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 15 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:719161 HCAPLUS

DOCUMENT NUMBER: 129:347288

TITLE: Stabilization of insulin through ligand binding interactions

INVENTOR(S): Dunn, Michael F.

PATENT ASSIGNEE(S): Regents of the University of California, USA

SOURCE: U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5830999	A	19981103	US 1995-378412	19950126 <--
PRIORITY APPLN. INFO.:			US 1995-378412	19950126

AB Insulin formulations containing ligands for the insulin hexamer which bind several orders of magnitude more tightly to the hexamer than chlorine ion or acetate ion are claimed. These ligands are aliphatic and aromatic carboxylates having a dissociation constant (KD) of less than about 5 mM, and preferably less than about 1 mM. The increased tightness of binding conveys addnl. stability to the insulin hexamers, improving their usefulness in slow release and fast acting formulations (for example, for the treatment of diabetics) (no data).

IT 556-08-1DP, p-Acetamidobenzoic acid, conjugates with insulin

RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(stabilization of insulin through ligand binding interactions)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 16 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:703420 HCAPLUS

DOCUMENT NUMBER: 129:335730

TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting

INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.; Meredith, Michael J.

PATENT ASSIGNEE(S): Oregon Health Sciences University, USA

SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 685,152.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5827819	A	19981027	US 1996-735977	19961025 <--
US 5149794	A	19920922	US 1990-607982	19901101 <--
US 5256641	A	19931026	US 1992-911209	19920709 <--
US 5543389	A	19960806	US 1993-142771	19931026 <--
US 5965519	A	19991012	US 1996-685152	19960723

US 6024977	A	20000215	US 1997-923015	19970903
AU 9850909	A1	19980515	AU 1998-50909	19971027 <--
AU 738524	B2	20010920		
EP 944399	A2	19990929	EP 1997-913811	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514188	T2	20020514	JP 1998-519709	19971027
CA 2269947	C	20020813	CA 1997-2269947	19971027 <--
CA 2269947	AA	19980430		
US 6436437	B1	20020820	US 2000-503892	20000215
PRIORITY APPLN. INFO.:				
			US 1990-607982	A2 19901101
			US 1992-911209	A2 19920709
			US 1993-142771	A1 19931026
			US 1996-685152	A2 19960723
			US 1996-735977	A3 19961025
			US 1997-923015	A3 19970903
			WO 1997-US19486	W 19971027

AB A method of facilitating the entry of drugs into cells and tissues at physiol. protected sites at pharmacokinetically useful levels and also a method of targeting drugs to specific organelles within the cell are described. This polar lipid/drug **conjugate** targeting invention embodies an advance over other drug targeting methods known in the prior art, because the invention provides drug concns. in such physiol. protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technol. is appropriate for use with psychotropic, neurotropic and neurol. drugs, agents and compds., for rapid and efficient introduction of such agents across the blood-brain barrier. Further, the invention provides means for retention and prolonged enzymic release of psychotropic, neurotropic and neurol. drugs, agents and compds. comprising the **conjugates** of the invention, in the brain and central nervous system. Methotrexate (I) linked to sphingosine via an ester linkage to 6-hydroxyhexanoic acid spacer was prepared. Growth inhibitory effects of I **conjugate** was tested on murine NIH3T3 cells. The prodrug was ineffective in inhibiting cell growth or survival in the absence of brain extract. Upon addition of brain extract, a significant increase in I cytotoxicity was observed, which was consistent with cleavage of the ester linkage by the brain extract-derived esterase.

IT 215163-90-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(covalent polar lipid **conjugates** with neurol. active compds.  
for targeting)

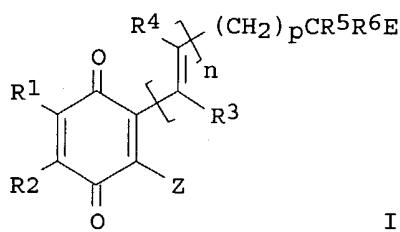
REFERENCE COUNT: 211 THERE ARE 211 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 17 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1998:568742 HCAPLUS  
 DOCUMENT NUMBER: 129:202857  
 TITLE: Drug targeting with bioreductive **conjugates**  
 to areas of hypoxic or ischemic tissue  
 INVENTOR(S): Blake, David; Naughton, Declan; Adams, Ged; Stratford, Ian; Morris, Christopher; Jaffar, Mohammed; Naylor, Matthew  
 PATENT ASSIGNEE(S): Theramark Limited, UK  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

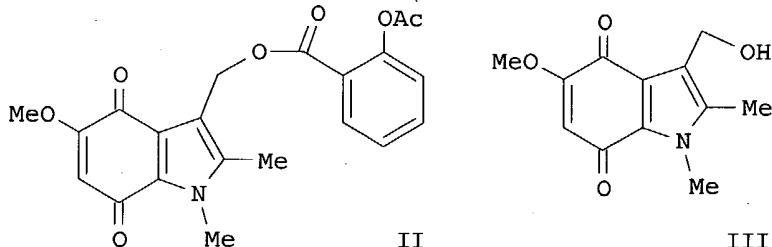
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835701	A1	19980820	WO 1998-GB461	19980213 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2280874	AA	19980820	CA 1998-2280874	19980213 <--
AU 9862228	A1	19980908	AU 1998-62228	19980213 <--
AU 751145	B2	20020808		
EP 988057	A1	20000329	EP 1998-904282	19980213
EP 988057	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI JP 2001512425	T2	20010821	JP 1998-533318	19980213
AT 247984	E	20030915	AT 1998-904282	19980213
ES 2206891	T3	20040516	ES 1998-904282	19980213
PRIORITY APPLN. INFO.:			GB 1997-3002	A 19970211
			GB 1997-12090	A 19970610
			WO 1998-GB461	W 19980213

OTHER SOURCE(S): MARPAT 129:202857  
GI



I



II

III

AB Novel bioreductive conjugates, A(B)<sub>n</sub>, comprising a non-cytotoxic bioreductive moiety (A) linked-thereto at least one therapeutic agent (B, n = 1 - 3) and I [R1, R2 = H, halogen, alkyl, OH, alkoxy, SH, alkylthio, NH<sub>2</sub>, monoalkylamino, dialkylamino, carboxy, alkoxy carbonyl, CONH<sub>2</sub>, alkylaminocarbonyl; R1R2 = (un)substituted carbocyclic or heterocyclic ring; Z = (un)substituted alkyl, alkenyl, aryl, aralkyl; R3, R4, R5, R6 = H, alkyl, alkenyl; E = (un)linked therapeutic agent; m = 0 - 3; p = 0, 2; when m = 1 then p = 0], are described. Thus, bioreductive conjugate II was prepared via esterification of 2-AcOC<sub>6</sub>H<sub>4</sub>COCl with

- indoledione III. The pharmacokinetics of II were studied and showed that aspirin had been released from the **conjugate**.
- IT 50-78-2P, Aspirin  
 RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (drug targeting with bioreductive **conjugates** to areas of hypoxia ischemia)
- IT 192820-71-6P  
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (drug targeting with bioreductive **conjugates** to areas of hypoxia ischemia)
- IT 5538-51-2, 2-Acetylsalicyloyl chloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (drug targeting with bioreductive **conjugates** to areas of hypoxia ischemia)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 18 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:392723 HCPLUS

DOCUMENT NUMBER: 129:54605

TITLE: Preparation of endothelin derivatives and **conjugates** and agents containing them for therapeutic and diagnostic uses

INVENTOR(S): Dinkelborg, Ludger; Speck, Ulrich; Hilger, Christoph-Stephan; Blume, Friedhelm

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19652374	A1	19980610	DE 1996-19652374	19961204 <--
WO 9824482	A2	19980611	WO 1997-EP6518	19971124 <--
WO 9824482	A3	19990401		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9855545	A1	19980629	AU 1998-55545	19971124 <--
EP 946205	A2	19991006	EP 1997-951940	19971124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001504841	T2	20010410	JP 1998-525136	19971124
US 2003119719	A1	20030626	US 2001-988008	20011116
PRIORITY APPLN. INFO.:			DE 1996-19652374	A 19961204
			WO 1997-EP6518	W 19971124
			US 1999-319414	B1 19991126

AB Title compds., useful for the diagnosis and treatment of cardiovascular diseases, were prepared. Such an agent is useful for the site-specific delivery of, e.g.,  $^{99m}\text{Tc}$  for autoradiog. studies of arterial plaque build-up. Thus, H<sub>2</sub>N-Asp-Gly-Gly-Cys-Gly-Cys-Phe-D-Trp-Leu-Asp-Ile-Ile-Trp-OH was complexed with  $^{99m}\text{Tc}$  to give an autoradiog. agent which, when introduced into rabbit common carotid artery, gave better-localized images than did  $^{99m}\text{Tc}$ -pertechnetate.

IT 208757-60-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of endothelin derivs. and **conjugates** and agents containing them for therapeutic and diagnostic uses)

L48 ANSWER 19 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:186637 HCAPLUS

DOCUMENT NUMBER: 128:213389

TITLE: Antineoplastic transferrin and albumin **conjugates** of cytostatic compounds selected from anthracyclines, alkylating agents, antimetabolites, and cisplatin analogs

INVENTOR(S): Kratz, Felix

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19636889	A1	19980312	DE 1996-19636889	19960911 <--
CA 2265861	AA	19980319	CA 1997-2265861	19970909 <--
WO 9810794	A2	19980319	WO 1997-DE2000	19970909 <--
WO 9810794	A3	19980806		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9745489	A1	19980402	AU 1997-45489	19970909 <--
EP 934081	A2	19990811	EP 1997-943750	19970909 <--
EP 934081	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001500133	T2	20010109	JP 1998-513144	19970909
AT 268608	E	20040615	AT 1997-943750	19970909
EP 1447099	A2	20040818	EP 2004-12346	19970909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6310039	B1	20011030	US 1999-254598	19990521
US 2002019343	A1	20020214	US 2001-931940	20010820
US 6709679	B2	20040323		
PRIORITY APPLN. INFO.:				
			DE 1996-19636889	A 19960911
			EP 1997-943750	A3 19970909
			WO 1997-DE2000	W 19970909
			US 1999-254598	A1 19990521

OTHER SOURCE(S): MARPAT 128:213389

AB **Conjugates** of thiolated transferrin and/or albumin with maleimide-derivatized anthracyclines (doxorubicin, daunorubicin, epirubicin, idarubicin), alkylating agents (chlorambucil, melphalan), antimetabolites (5-fluorouracil, 5'-deoxy-5-fluorouridine), or cisplatin analogs, where the linkage is through an amide, ester, imine, hydrazone, acylhydrazone, urethane, acetal, or ketal group, show high antitumor activity and are water soluble and stable under physiol. conditions, and are

therefore suitable for cancer treatment. Thus, transferrin was thiolated with iminothiolane; the number of SH groups introduced depended on the temperature and concentration ratio of iminothiolane to protein. Thiolated transferrin was conjugated with the 3'-amide of doxorubicin with p-maleimidophenylacetyl chloride. The product had cytostatic activity comparable to that of unconjugated doxorubicin against colon carcinoma HCT-116 cells in vitro.

IT 174603-69-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(antineoplastic transferrin and albumin conjugates of cytostatic compds. selected from anthracyclines, alkylating agents, antimetabolites, and cisplatin analogs)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 20 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:112333 HCPLUS

DOCUMENT NUMBER: 128:202703

TITLE: Preparation of boron compounds complexing reagents for conjugation of biological macromolecules

INVENTOR(S): Stolowitz, Mark L.; Kaiser, Robert J.; Lund, Kevin P.

PATENT ASSIGNEE(S): Prolinx, Inc., USA

SOURCE: PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805627	A1	19980212	WO 1997-US13314	19970724 <--
W: AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5777148	A	19980707	US 1996-691930	19960805 <--
US 5837878	A	19981117	US 1996-689283	19960805 <--
CA 2262682	AA	19980212	CA 1997-2262682	19970724 <--
AU 9738179	A1	19980225	AU 1997-38179	19970724 <--
AU 726875	B2	20001123		
EP 915832	A1	19990519	EP 1997-935178	19970724 <--
EP 915832	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501592	T2	20010206	JP 1998-508027	19970724
RU 2171250	C2	20010727	RU 1999-103923	19970724
AT 225330	E	20021015	AT 1997-935178	19970724
PRIORITY APPLN. INFO.:				
			US 1996-689283	A 19960805
			US 1996-691930	A 19960805
			US 1994-188531	A2 19940128
			WO 1997-US13314	W 19970724

OTHER SOURCE(S): MARPAT 128:202703

AB Boron compound complexing reagents, intermediate reagents of those reagents and methods of synthesizing these reagents are disclosed. These reagents, may be used, after further reactions to complex with boronic compds., such

as phenylboronic acid or derivs. Thus, Me 4-glutarylaminomethyl-2,6-dihydroxybenzoate succinimidyl ester was prepared and **conjugated** with goat anti-mouse antibodies and the Me ester was hydrolyzed to the acid.

IT 202926-54-3DP, reaction products 202926-57-6DP, reaction products with Sepharose 202927-19-3DP, reaction products with antibodies 203629-00-9DP, reaction products with alkaline phosphatase 203629-09-8DP, reaction products with Sepharose RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of boron compound complexing reagents for **conjugation** of biol. macromols.)

IT 202927-19-3 203629-22-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of boron compound complexing reagents for **conjugation** of biol. macromols.)

IT 17492-27-2P 102821-32-9P 202926-49-6P  
202926-51-0P 202926-52-1P 202926-53-2P  
202926-54-3P 202926-55-4P 202926-56-5P  
202926-59-8P 202926-60-1P 202926-61-2P  
202926-64-5P 202926-65-6P 202926-70-3P  
202926-71-4P 202926-72-5P 203628-99-3P  
203629-00-9P 203629-01-0P 203629-02-1P  
203629-03-2P 203629-04-3P 203629-05-4P  
203629-06-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of boron compound complexing reagents for **conjugation** of biol. macromols.)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 21 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1998:1383 HCAPLUS  
DOCUMENT NUMBER: 128:61804  
TITLE: aPL immunoreactive peptides and their conjugates for treatment of aPL antibody-mediated pathologies  
INVENTOR(S): Victoria, Edward Jess; Marquis, David Matthew; Jones, David S.; Yu, Lin  
PATENT ASSIGNEE(S): Lajolla Pharmaceutical Company, USA; Victoria, Edward Jess; Marquis, David Matthew; Jones, David S.; Yu, Lin  
SOURCE: PCT Int. Appl., 155 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746251	A1	19971211	WO 1997-US10075	19970606 <-
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6207160	B1	20010327	US 1996-660092	19960606

CA 2256449	AA	19971211	CA 1997-2256449	19970606 <--
AU 9736404	A1	19980105	AU 1997-36404	19970606 <--
AU 734638	B2	20010621		
EP 954531	A1	19991110	EP 1997-933138	19970606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512981	T2	20001003	JP 1998-500927	19970606
NO 9805636	A	19990208	NO 1998-5636	19981203 <--
PRIORITY APPLN. INFO.:				
			US 1996-660092	A2 19960606
			US 1996-760508	A 19961205
			US 1995-482651	A2 19950607
			WO 1997-US10075	W 19970606

AB APL analogs that bind specifically to B cells to which an aPL epitope binds are disclosed. Optimized analogs lacking T cell epitope(s) are useful as **conjugates** for treating aPL antibody-mediated diseases. **Conjugates** comprising aPL analogs and nonimmunogenic valency platform mols. are provided as are novel nonimmunogenic valency platform mols. and linkers. Methods of preparing and identifying said analogs, methods of treatment using said analogs, methods and compns. for preparing **conjugates** of said analogs and diagnostic immunoassays for aPL antibodies are disclosed.

IT 200291-45-8P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(aPL immunoreactive peptides and their **conjugates** for treatment of aPL antibody-mediated pathologies)

L48 ANSWER 22 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:130020 HCAPLUS  
 DOCUMENT NUMBER: 126:126885  
 TITLE: Preparation of immunogens and other **conjugates** of drugs  
 INVENTOR(S): Lau, Hon-Peng Phillip  
 PATENT ASSIGNEE(S): Dade Chemistry Systems Inc., USA  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640664	A2	19961219	WO 1996-US9834	19960607 <--
WO 9640664	A3	19970313		
W: AU, CA, CN, JP RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9661676	A1	19961230	AU 1996-61676	19960607 <--
EP 775128	A1	19970528	EP 1996-919306	19960607 <--
R: DE, ES, FR, IT				
CN 1163612	A	19971029	CN 1996-190885	19960607 <--
JP 10504324	T2	19980428	JP 1996-502038	19960607 <--
PRIORITY APPLN. INFO.:				
			US 1995-473382	19950607
			WO 1996-US9834	19960607

AB The invention provides a reactive piperazine derivative of dialkyl amino compds., particularly dialkyl amino drugs, for facilitating the **conjugation** of the drug, directly or through a bifunctional spacer, to a carrier compound, such as proteinaceous materials (e.g. bovine serum albumin, ovalbumin, and keyhole limpet hemocyanin). The drug derivative carrier **conjugate** can be used as an immunogen for production of antibodies specific to the drug. Addnl., the **conjugate** can be coupled to a solid support, such as a polymer particle, for use as a

particle reagent in immunoassays specific to the drug. N-lidocaine, prepared from piperazine 17.2 g (in EtOAc) and N-chloroacetyl-2,6-xylidine 3.98 g, was **conjugated** with human serum albumin to obtain a reagent for particle enhanced turbidimetric inhibition immunoassay (PETINIA).

- IT 32795-44-1, N-Acetylprocainamide  
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (preparation of piperazine derivs. of dialkyl amino drugs for immunogens and conjugates for immunoassay)
- IT 186490-70-0DP, conjugates with proteins  
 186490-72-2DP, conjugates with proteins  
 RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)  
 (preparation of piperazine derivs. of dialkyl amino drugs for immunogens and conjugates for immunoassay)
- IT 556-08-1P 105217-72-9P 186490-68-6P  
 186490-69-7P 186490-70-0P 186490-72-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of piperazine derivs. of dialkyl amino drugs for immunogens and conjugates for immunoassay)

L48 ANSWER 23 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:577842 HCAPLUS

DOCUMENT NUMBER: 125:219609

TITLE: Chemically-defined non-polymeric valency platform molecules and **conjugates** thereof

INVENTOR(S): Coutts, Stephen M.; Jones, David S.; Livingston, Douglas A.; Yu, Lin

PATENT ASSIGNEE(S): La Jolla Pharmaceutical Company, USA

SOURCE: U.S., 59 pp., Cont.-in-part of U.S. 5,276,013.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5552391	A	19960903	US 1993-152506	19931115 <--
US 5162515	A	19921110	US 1990-494118	19900313 <--
JP 05505520	T2	19930819	JP 1991-503584	19910115 <--
CA 2173878	C	20000404	CA 1991-2173878	19910115
JP 2001354569	A2	20011225	JP 2001-106534	19910115
US 5268454	A	19931207	US 1991-652648	19910208 <--
AU 9214118	A1	19920907	AU 1992-14118	19920204 <--
AU 646157	B2	19940210		
JP 05508421	T2	19931125	JP 1992-505775	19920204 <--
JP 2544873	B2	19961016		
CA 2277724	C	20030527	CA 1992-2277724	19920204
NO 9202781	A	19920714	NO 1992-2781	19920714 <--
FI 9203241	A	19920715	FI 1992-3241	19920715 <--
US 5276013	A	19940104	US 1992-914869	19920715 <--
US 6060056	A	20000509	US 1993-118055	19930908
JP 07126186	A2	19950516	JP 1993-298747	19931129 <--
JP 2002087991	A2	20020327	JP 2001-197540	19931129
EP 642798	A2	19950315	EP 1993-309720	19931203 <--
EP 642798	A3	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2171434	AA	19950316	CA 1994-2171434	19940908 <--
WO 9507073	A1	19950316	WO 1994-US10031	19940908 <--

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,  
 GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG,  
 MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA,  
 US, UZ

RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,  
 NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9477209 A1 19950327 AU 1994-77209 19940908 <--

AU 677710 B2 19970501

EP 722318 A1 19960724 EP 1994-928016 19940908 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

CN 1134109 A 19961023 CN 1994-193993 19940908 <--

JP 09500389 T2 19970114 JP 1995-508766 19940908 <--

JP 2002085062 A2 20020326 JP 2001-214569 19940908

US 5606047 A 19970225 US 1995-453254 19950530 <--

US 5633395 A 19970527 US 1995-453452 19950530 <--

NO 9600952 A 19960502 NO 1996-952 19960307 <--

FI 9601100 A 19960508 FI 1996-1100 19960308 <--

US 2002082400 A1 20020627 US 2000-753350 20001229

US 2002107389 A1 20020808 US 2000-752533 20001229

US 2003162953 A1 20030828 US 2002-144391 20020510

PRIORITY APPLN. INFO.:

US 1990-466138 B2 19900116  
 US 1990-494118 A2 19900313  
 US 1991-652648 A2 19910208  
 US 1992-914869 A2 19920715  
 US 1993-118055 A2 19930908  
 CA 1991-2034197 A3 19910115  
 JP 1991-503584 A3 19910115  
 WO 1991-US293 W 19910115  
 CA 1992-2076648 A3 19920204  
 WO 1992-US975 A 19920204  
 US 1993-142598 A 19931022  
 US 1993-152506 A 19931115  
 EP 1993-309288 A 19931122  
 JP 1993-298747 A3 19931129  
 JP 1995-508766 A3 19940908  
 WO 1994-US10031 W 19940908  
 US 1995-453254 A3 19950530  
 US 1996-769041 A1 19961218

AB Chemical-defined, non-polymeric valency platform mols. and **conjugates** comprising chemical-defined valency platform mols. and biol. or chemical mols. including polynucleotide duplexes of at least 20 base pairs that have significant binding activity for human lupus anti-dsDNA autoantibodies. The polynucleotide duplex-containing **conjugates** are useful as toleragen for treating human autoimmune disease or systemic lupus erythematosus. In example, chemical-defined valency platform mols. were synthesized, **conjugated** with polynucleotide (PN) and hemagglutinin or sheep red blood cell, and used as toleragen to reduce PN-specific antibody-producing cells. Similarly, **conjugates** of the platform mols. and melittin peptides were prepared for inducing tolerance mice to melittin.

IT 181469-52-3P

RL: MOA (Modifier or additive use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(chemical-defined non-polymeric valency platform mols. and **conjugates** with polynucleotide or melittin as toleragen for autoimmune disease or systemic lupus erythematosus or bee venom)

IT 5434-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(chemical-defined non-polymeric valency platform mols. and **conjugates** with polynucleotide or melittin as toleragen for

autoimmune disease or systemic lupus erythematosus or bee venom)

L48 ANSWER 24 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:535077 HCAPLUS  
 DOCUMENT NUMBER: 125:230787  
 TITLE: Covalent microparticle-drug conjugates for biological targeting  
 INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.; Gallicchio, Vincent S.; Meredith, Michael J.  
 PATENT ASSIGNEE(S): Oregon Health Sciences University, USA  
 SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 142, 771.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5543390	A	19960806	US 1994-246941	19940519 <--
US 5149794	A	19920922	US 1990-607982	19901101 <--
US 5256641	A	19931026	US 1992-911209	19920709 <--
US 5543389	A	19960806	US 1993-142771	19931026 <--
US 5543391	A	19960806	US 1995-441770	19950516 <--
WO 9532002	A1	19951130	WO 1995-US6180	19950517 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9526393	A1	19951218	AU 1995-26393	19950517 <--
EP 759784	A1	19970305	EP 1995-921275	19950517 <--
R: BE, CH, DE, FR, GB, LI, NL, SE				
US 5840674	A	19981124	US 1996-691891	19960801 <--
US 6063759	A	20000516	US 1998-60011	19980414
US 6339060	B1	20020115	US 2000-573497	20000516
US 2004087482	A1	20040506	US 2002-50271	20020115
US 1990-607982 A2 19901101				
US 1992-911209 A2 19920709				
US 1993-142771 A2 19931026				
US 1994-246941 A3 19940519				
US 1995-441770 A1 19950516				
WO 1995-US6180 W 19950517				
US 1996-691891 A1 19960801				
US 1998-60011 A1 19980414				
US 2000-573497 A3 20000516				

PRIORITY APPLN. INFO.:  
 AB This invention provides novel methods and reagents for specifically delivering biol. active compds. to phagocytic mammalian cells. The invention also relates to specific uptake of such biol. active compds. by phagocytic cells and delivery of such compds. to specific sites intracellularly. The invention specifically relates to methods of facilitating the entry of antimicrobial drugs and other agents into phagocytic cells and for targeting such compds. to specific organelles within the cell. The invention specifically provides compns. of matter and pharmaceutical embodiments of such compns. comprising **conjugates** of such antimicrobial drugs and agents covalently linked to particulate carriers generally termed microparticles. In particular embodiments, the antimicrobial drug is covalently linked to a microparticle via an organic linker mol. which is the target of a microorganism-specific protein having enzymic activity. Thus, the

invention provides cell targeting of drugs wherein the targeted drug is only released in cells infected with a particular microorganism. Alternative embodiments of such specific drug delivery compns. also contain polar lipid carrier mols. effective in achieving intracellular organelle targeting in infected phagocytic mammalian cells. Particular embodiments of such **conjugates** comprise antimicrobial drugs covalently linked both to a microparticle via an organic linker mol. and to a polar lipid compound, to facilitate targeting of such drugs to particular subcellular organelles within the cell. Also provided are porous microparticles impregnated with antimicrobial drugs and agents wherein the surface or outside extent of the microparticle is covered with a degradable coating that is specifically degraded within an infected phagocytic mammalian cell. Methods of inhibiting, attenuating, arresting, combating and overcoming microbial infection of phagocytic mammalian cells in vivo and in vitro are also provided.

IT

174008-70-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (covalent microparticle-drug **conjugates** for biol. targeting  
 of drugs to infected phagocytic cells)

L48 ANSWER 25 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:425643 HCPLUS  
 DOCUMENT NUMBER: 125:135303  
 TITLE: Differential binding affinities and dissociation assays based thereon  
 INVENTOR(S): Fitzpatrick, Judith; Lenda, Regina  
 PATENT ASSIGNEE(S): Serex, Inc., USA  
 SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 737,526,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5527686	A	19960618	US 1994-196092	19940217 <--
WO 9303367	A1	19930218	WO 1992-US6249	19920729 <--
			W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG	
US 5710009	A	19980120	US 1995-493420	19950622 <--
AU 739280	B2	20011011	AU 2000-56604	20000908
PRIORITY APPLN. INFO.:			US 1991-737526	B2 19910729
			WO 1992-US6249	W 19920729
			US 1994-196092	A2 19940217
			AU 1996-63875	A 19960619

AB A method for assaying for the presence of analyte in a sample based on differential binding affinity involves detecting dissociation of a complex of receptor and ligand in the presence of analyte. The receptor binds the analyte with high affinity and with the ligand with low affinity. The receptor-ligand complex may be formed in situ or may be preformed. In the presence of free analyte, the receptor releases from the receptor-ligand complex and binds free analyte. Release of the receptor-ligand complex is detectable. A kit for performing release assays to detect the presence of analyte is also provided. Examples are given of the determination of cotinine, benzoylecgonine, tetrahydrocannabinol, atenolol, and hydrochlorothiazide as well as for the affinity purification of antibodies.

IT 147451-94-3DP,  $\gamma$ -globulin **conjugates**

RL: ARG (Analytical reagent use); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (differential binding affinities and dissociation assays based on them)

L48 ANSWER 26 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:367296 HCAPLUS

DOCUMENT NUMBER: 125:58999

TITLE: Preparation of **conjugates** of metal complexes with modified oligonucleotides for use in diagnosis and/or therapy.

INVENTOR(S): Dinkelborg, Ludger; Hilger, Christoph-Stephan; Niedballa, Ulrich; Platzeck, Johannes; Raduechel,

PATENT ASSIGNEE(S): Bernd; Speck, Ulrich; Gold, Larry; Pieken, Wolfgang Schering A.-G., Germany; Nexstar Pharmaceuticals, Inc. SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9602274	A1	19960201	WO 1995-EP2539	19950630 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4424922	A1	19960118	DE 1994-4424922	19940714 <--
DE 4445078	A1	19960613	DE 1994-4445078	19941205 <--
AU 9529791	A1	19960216	AU 1995-29791	19950630 <--
EP 777498	A1	19970611	EP 1995-925792	19950630 <--
EP 777498	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503182	T2	19980324	JP 1995-504630	19950630 <--
RU 2165771	C2	20010427	RU 1997-102039	19950630
AT 265229	E	20040515	AT 1995-925792	19950630
NO 9700141	A	19970314	NO 1997-141	19970113 <--
PRIORITY APPLN. INFO.:			DE 1994-4424922	A 19940714
			DE 1994-4445078	A 19941205
			WO 1995-EP2539	W 19950630

AB Oligonucleotide **conjugates** containing a modified oligonucleotide radical stabilized to degradation by nucleases and substituents BK where B = bond, connecting component, K = complexing agent or complex of radioactive metal isotopes or stable isotopes which can be converted by outside radiation to radioactive isotopes, or which convert radiation from outside to radiation of different quality, energy content, and/or different wavelength, of elements of atomic nos. 5, 21-29, 31, 42-44, 49, 57-83, or 85, were prepared for radiodagnosis and/or radiotherapy (no data). Thus, the 5'-(6-amino-1-hexylphosphonic acid ester) of 5'-CUCAUGGAGCGCAAGACGAAUAGCUACAUAT\*T\*T\*T\*T-3' (\* = methylphosphonate bond) (preparation given) was stirred with 2-(4-isothiocyanatobenzyl)diethylenetriamine-N,N',N'',N'''-pentaacetic acid in NaHCO<sub>3</sub>/Na<sub>2</sub>CO<sub>3</sub> buffer at room temperature to give the corresponding thiourea **conjugate**. Preparation of the yttrium-90 complex of the latter is described.

IT 177747-41-0P 177747-42-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of **conjugates** of metal complexes with modified oligonucleotides for use in diagnosis and/or therapy)

L48 ANSWER 27 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:135697 HCAPLUS  
 DOCUMENT NUMBER: 124:185548  
 TITLE: Covalent microparticle-drug conjugates for biological targeting  
 INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.; Gallicchio, Vincent S.; Meredith, Michael J.  
 PATENT ASSIGNEE(S): Oregon Health Sciences University, USA  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532002	A1	19951130	WO 1995-US6180	19950517 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5543390	A	19960806	US 1994-246941	19940519 <--
AU 9526393	A1	19951218	AU 1995-26393	19950517 <--
EP 759784	A1	19970305	EP 1995-921275	19950517 <--
R: BE, CH, DE, FR, GB, LI, NL, SE				
PRIORITY APPLN. INFO.:				
		US 1994-246941	A 19940519	
		US 1990-607982	A2 19901101	
		US 1992-911209	A2 19920709	
		US 1993-142771	A2 19931026	
		WO 1995-US6180	W 19950517	

AB Novel methods and reagents for specifically delivering biol. active compds. to phagocytic mammalian cells are disclosed. The invention also relates to specified uptake of such biol. active compds. by phagocytic cells and delivery of such compds. to specific sites intracellularly. The invention specifically relates to method of facilitating the entry of antimicrobial drugs and other agents into phagocytic cells and for targeting such compds. to specific organelles within the cell. A derivatized microparticle comprising unconjugated amino group is reacted with a proteolytically inert peptide in which the terminal amine and any of the constituent amino acid side chain reactive amines are covered by tert-butoxycarbonyl protecting group in the presence of tri-Ph phosphine. The peptide/microparticle conjugate is then reacted in the presence of pyridine hydrofluoride to remove th t-Boc protecting group. The peptide/microparticle was then conjugated to the specifically-cleavable peptide, in which the terminal amine and any of the constituent amino acid side chain reactive amines were covered by t-Boc protecting groups. After the deprotection of reactive amines with pyridine hydrofluoride, an antimicrobial drug having a reactive carboxylic acid group was conjugated to a free amino group of microparticle/peptide/specifically-cleavable peptide to yield the antimicrobial agent of the invention.

IT 174008-70-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (covalent microparticle-drug conjugates for biol. targeting)

ACCESSION NUMBER: 1995:982407 HCAPLUS  
 DOCUMENT NUMBER: 124:15482  
 TITLE: Bioactive and/or targeted dendrimer conjugates  
 INVENTOR(S): Tomalia, Donald A.; Baker, James R.; Bielinska, Anna U.; Brothers, Herbert M., II; Cheng, Roberta C.; Fazio, Michael J.; Hedstrand, David M.; Johnson, Jennifer A.; Kaplan, Donald A.; et al.  
 PATENT ASSIGNEE(S): Dow Chemical Co., USA; Dendritech Inc.; Regents of the University of Michigan  
 SOURCE: PCT Int. Appl., 252 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 9  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524221	A1	19950914	WO 1995-US3045	19950307 <--
W: AU, BR, CA, CN, CZ, EE, FI, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, PT, RU, SI, SK, UA, US, US, US, US, US, US, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
BR 8707431	A	19881101	BR 1987-7431	19870419 <--
AT 89743	E	19930615	AT 1987-307266	19870817 <--
JP 63501878	T2	19880728	JP 1987-505282	19870818 <--
JP 07002840	B4	19950118		
JP 63502350	T2	19880908	JP 1987-505084	19870818 <--
JP 07057735	B4	19950621		
BR 8707433	A	19881101	BR 1987-7433	19870818 <--
FI 8801768	A	19880415	FI 1988-1768	19880415 <--
US 5338532	A	19940816	US 1991-654851	19910213 <--
US 5527524	A	19960618	US 1993-43198	19930405 <--
CA 2161684	AA	19950914	CA 1995-2161684	19950307 <--
AU 9521181	A1	19950925	AU 1995-21181	19950307 <--
EP 699079	A1	19960306	EP 1995-914006	19950307 <--
EP 699079	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9501877	A	19960909	ZA 1995-1877	19950307 <--
JP 08510761	T2	19961112	JP 1995-523673	19950307 <--
RU 2127125	C1	19990310	RU 1995-122714	19950307 <--
IL 128773	A1	20010520	IL 1995-128773	19950307
IL 128774	A1	20010520	IL 1995-128774	19950307
IL 128775	A1	20010520	IL 1995-128775	19950307
PL 181064	B1	20010531	PL 1995-311633	19950307
PL 182237	B1	20011130	PL 1995-335982	19950307
IL 112920	A1	20030410	IL 1995-112920	19950307
AT 277640	E	20041015	AT 1995-914006	19950307
FI 9505320	A	19951124	FI 1995-5320	19951106 <--
NO 9504434	A	19960105	NO 1995-4434	19951106 <--
FI 9801807	A	19980824	FI 1998-1807	19980824 <--
AU 768662	B2	20031218	AU 2002-29312	20020328
AU 2002029312	A5	20020523		

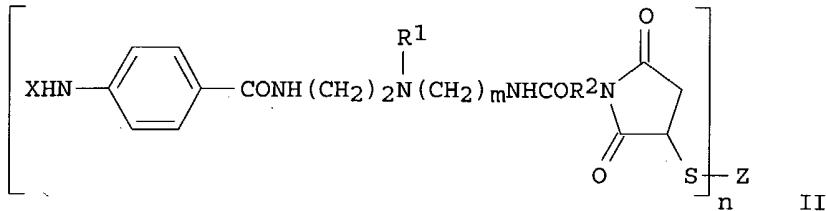
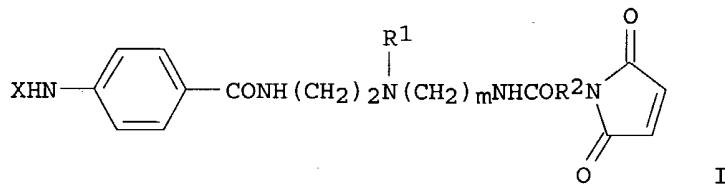
PRIORITY APPLN. INFO.:	US 1986-897455	A2 19860818
	US 1987-87266	A2 19870818
	US 1989-386049	A2 19890726
	US 1991-654851	A2 19910213
	US 1993-43198	A2 19930405
	US 1994-207494	A2 19940307
	US 1994-316536	A2 19940930
	EP 1987-307266	A 19870817
	WO 1987-US2075	W 19870818
	WO 1987-US2076	A 19870818

IL 1995-112920	A3 19950307
WO 1995-US3045	W 19950307
AU 1999-64440	A3 19991210

- AB Dendritic polymer **conjugates** which are composed of at least one dendrimer in association with at least one unit of a carried material, where the carrier material can be a biol. response modifier, have been prepared. The **conjugate** can also have a target director present, and when it is present, then the carried material may be a bioactive agent. Preferred dendritic polymers are dense star polymers, which have been complexed with biol. response modifiers. These **conjugates** and complexes have particularly advantageous properties due to their unique characteristics.
- IT 50-78-2DP, Aspirin, reaction products with Starburst polyamidoamine  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (bioactive and/or targeted dendrimer **conjugates**)

L48 ANSWER 29 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:946794 HCAPLUS  
 DOCUMENT NUMBER: 123:339721  
 TITLE: Preparation of maleimide adduct **conjugates** of procainamide and N-acetylprocainamide.  
 INVENTOR(S): Sigler, Gerald F.; Walter, Charles F.; Durant, Charles E.; Glancy, Todd; Klein, Frank E.; Dorn, Allan R.  
 PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., USA  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9516894	A1	19950622	WO 1994-US14484	19941216 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5439798	A	19950808	US 1993-169851	19931217 <--
CA 2178915	AA	19950622	CA 1994-2178915	19941216 <--
CA 2178915	C	20020212		
EP 734526	A1	19961002	EP 1995-905960	19941216 <--
R: DE, ES, FR, GB, IT				
JP 09507841	T2	19970812	JP 1994-516955	19941216 <--
PRIORITY APPLN. INFO.:			US 1993-169851	A 19931217
			WO 1994-US14484	W 19941216
OTHER SOURCE(S):	MARPAT	123:339721		
GI				



AB Activated hapten derivs. (I; X = H, Ac; R1 = C1-3 alkyl; m = 2-10; R2 = C2-10 alkyl, cycloalkyl, aryl) and **conjugates** [II; Z = poly(amino acid), polysaccharide, labeling substance; n = 1-p; p = MW of Z/1000], were prepared. Thus, p-nitro N-[2-(ethylamino)ethyl]benzamide was coupled with N-carbobenzoxy-2-bromoethylamine using K<sub>2</sub>CO<sub>3</sub> in DMF to give p-nitro-N-[(2-ethylamino)ethyl]-N'-[2-carbobenzoxyaminoethyl]benzamide. This was hydrogenated in EtOH/aqueous HCl over Pd/C to give p-amino-N-[(2-ethylamino)ethyl]-N'-[2-aminoethyl]benzamide dihydrochloride. This amine in DMF was treated with Et<sub>3</sub>N and 3-maleimidopropionic acid N-hydroxysuccinimide ester to give p-amino-N-[(2-ethylamino)ethyl]-N'-[2-(3-maleimidopropionamido)ethyl]benzamide. **Conjugates** of the latter and the p-acetamido derivative were prepared and used in cloned enzyme donor immunoassay for procainamide and N-acetylprocainamide.

IT 32795-44-1, N-Acetylprocainamide

RL: ANT (Analyte); ANST (Analytical study)  
(preparation of maleimide adduct **conjugates** of procainamide and N-acetylprocainamide)

IT 72040-49-4P 170788-23-5P 170788-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of maleimide adduct **conjugates** of procainamide and N-acetylprocainamide)

L48 ANSWER 30 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:921925 HCPLUS

DOCUMENT NUMBER: 123:334349

TITLE: Phenylboronic acid complexes

INVENTOR(S): Stolowitz, Mark L.

PATENT ASSIGNEE(S): Prolinx, Inc., USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520591	A1	19950803	WO 1995-US1004	19950127 <-- W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN,

MX, NL, NO, NZ, PL, PT, RO, RU, SE, SI, SK, TJ, TT, UA, UZ, VN  
 RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,  
 MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,  
 TD, TG

US 5594151	A	19970114	US 1994-188531	19940128 <--
US 5594111	A	19970114	US 1994-188958	19940128 <--
US 5623055	A	19970422	US 1994-189176	19940128 <--
CA 2181252	AA	19950803	CA 1995-2181252	19950127 <--
AU 9517324	A1	19950815	AU 1995-17324	19950127 <--
AU 702017	B2	19990211		
EP 741734	A1	19961113	EP 1995-909329	19950127 <--
EP 741734	B1	20010404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09508389	T2	19970826	JP 1995-520146	19950127 <--
AT 200292	E	20010415	AT 1995-909329	19950127
ES 2158085	T3	20010901	ES 1995-909329	19950127
PT 741734	T	20010928	PT 1995-909329	19950127
RU 2202555	C2	20030420	RU 1996-117248	19950127
US 5677431	A	19971014	US 1995-482886	19950607 <--
US 5852178	A	19981222	US 1995-577068	19951222 <--
US 6008406	A	19991228	US 1997-805451	19970225
GR 3036119	T3	20010928	GR 2001-400972	20010627
PRIORITY APPLN. INFO.:				
			US 1994-188460	A 19940128
			US 1994-188531	A 19940128
			US 1994-188958	A 19940128
			US 1994-189176	A 19940128
			WO 1995-US1004	W 19950127
			US 1995-488193	B1 19950607

OTHER SOURCE(S): MARPAT 123:334349

AB The invention provides novel bioconjugate complexes linking two bioactive species (which may be the same or different) wherein the linkage comprises at least one boron atom, e.g., at least one phenylboronic acid complex. The bioconjugate complex of the invention is preferably a compound of the general formula BAS-L-Bc-L'-(Bc'-L'')n-BAS', wherein BAS and BAS' are bioactive species (which may be the same or different); L, L', and L'' are linkers (which may be the same or different); Bc and Bc' are phenylboronic acid complexes (which may be the same or different) of formula D-E or E-D wherein D is a phenylboronic acid moiety and E is a phenylboronic acid complexing moiety, and n is 0 or 1. Also provided are reagents and semiconjugates for making the bioconjugate complexes of the invention and kits and methods utilizing the bioconjugate complexes of the invention.

IT 5538-51-2, 2-Acetoxybenzoyl chloride

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (phenylboronic acid complexes preparation for conjugation of biol.  
 macromols. and biopolymers)

IT 170368-33-9P 170368-35-1P 170368-36-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (phenylboronic acid complexes preparation for conjugation of biol.  
 macromols. and biopolymers)

IT 170368-34-0P 170368-37-3P 170368-38-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (phenylboronic acid complexes preparation for conjugation of biol.  
 macromols. and biopolymers)

L48 ANSWER 31 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:892826 HCPLUS

DOCUMENT NUMBER: 124:290272

TITLE: Preparation of chemically-defined non-polymeric  
 valency platform molecules and **conjugates**  
 thereof.

INVENTOR(S): Coutts, Stephen; Jones, David S.; Livingston, Douglas

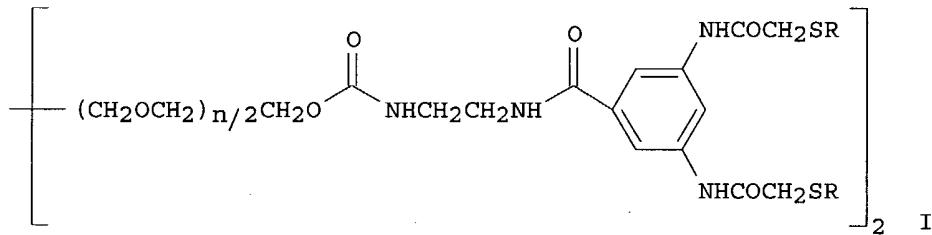
Alan; Yu, Lin  
 PATENT ASSIGNEE(S): La Jolla Pharmaceutical Co., Can.  
 SOURCE: Eur. Pat. Appl., 76 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 642798	A2	19950315	EP 1993-309720	19931203 <--
EP 642798	A3	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6060056	A	20000509	US 1993-118055	19930908
US 5552391	A	19960903	US 1993-152506	19931115 <--
PRIORITY APPLN. INFO.:			US 1993-118055	A 19930908
			US 1993-142598	A 19931022
			US 1993-152506	A 19931115
			EP 1993-309288	A 19931122
			US 1990-466138	B2 19900116
			US 1990-494118	A2 19900313
			US 1991-652648	A2 19910208
			US 1992-914869	A2 19920715

GI



AB    **Conjugates** comprising biol. or chemical mols., including polynucleotide duplexes of at least 20 base pairs that have significant binding activity for human lupus anti-dsDNA autoantibodies, reacted with valency platforms G1(T1)<sub>n</sub>, G2[L2J2Z2(pT2)]<sub>m</sub> [G1, G2 = null, (branched) chain containing 1-2000 atoms selected from C, N, O, Si, P, S; T1, T2 = NHR, CONHNHR, NHNHR, CO2H, CO2R1, COX, SO2X, SH, OH, etc.; R = H, alkyl, cycloalkyl, aralkyl; R1 = N-succinimidyl, p-nitrophenyl, pentafluorophenyl, etc.; X = halo, other leaving group; L2 = null, O, NR, S; J2 = null, CO, CS; Z2 = radical containing 1-200 atoms selected from C, H, N, O, Si, P, S, and containing attachment sites for functional groups; n, m = 1-32; p = 1-8; with provisos], were prepared Thus, title **conjugate** (I; R = H-Trp-Ile-Lys-Arg-Lys-Gln-Gln-Lys-Cys-Gly-OH, bound through a cysteine S atom; n = approx. 74) (preparation given) at 1000 µg/mouse in mice primed and boosted with the parent protein melittin gave an 86.8% reduction in peptide specific plaque forming cells.

IT    169744-34-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of chemical-defined non-polymeric valency platform mols. and **conjugates** thereof)

IT    5434-66-2P 169744-31-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of chemical-defined non-polymeric valency platform mols. and conjugates thereof)

L48 ANSWER 32 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:887981 HCAPLUS

DOCUMENT NUMBER: 123:275962

TITLE: Quaternary ammonium immunogenic conjugates and immunoassay reagent.

INVENTOR(S): Craig, Alan R.

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 668504	A1	19950823	EP 1995-101210	19950130 <--
EP 668504	B1	20010321		
R: DE, FR, IT				
US 5492841	A	19960220	US 1994-199380	19940218 <--
JP 07260784	A2	19951013	JP 1995-29300	19950217 <--
JP 2731739	B2	19980325		

PRIORITY APPLN. INFO.: US 1994-199380 A 19940218

AB This invention relates to novel quaternary immunogenic conjugates and reporter reagents useful for eliciting antibodies and in immunoassays. The hapten of the quaternary ammonium conjugate is selected from the group consisting of cocaine, methadone, methaqualone, propoxyphene, phencyclidine, amphetamine, benzodiazepam, quinidine, procainamide, N-acetylprocainamide, and tricyclic amines. The carrier for the conjugate is selected from the group consisting of proteins, glycoproteins, polypeptides, carbohydrates, and latex particles. Processes for preparing such quaternary ammonium immunogenic conjugates and their use in immunoassays and in eliciting antibodies are also disclosed.

IT 32795-44-1DP, immunogenic conjugates

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(quaternary ammonium immunogenic conjugates as immunoassay reagents for determination of drugs of abuse)

L48 ANSWER 33 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:801426 HCAPLUS

DOCUMENT NUMBER: 123:199403

TITLE: Preparation of drug conjugates incorporating amino acid spacers and fatty acid ester residues.

INVENTOR(S): Whittaker, Robert George; Bender, Veronika Judith; Reilly, Wayne Gerrard

PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research Organization, Australia

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

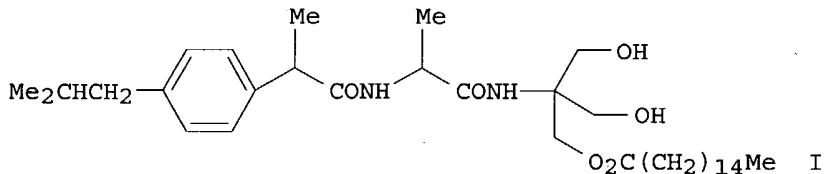
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9504030	A1	19950209	WO 1994-AU440	19940802 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2167818	AA	19950209	CA 1994-2167818	19940802 <--
AU 9473420	A1	19950228	AU 1994-73420	19940802 <--
AU 683289	B2	19971106		
EP 712389	A1	19960522	EP 1994-922189	19940802 <--
EP 712389	B1	20010124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1128531	A	19960807	CN 1994-192985	19940802 <--
CN 1125040	B	20031022		
JP 09501655	T2	19970218	JP 1994-505456	19940802 <--
RU 2137755	C1	19990920	RU 1996-104379	19940802
AT 198880	E	20010215	AT 1994-922189	19940802
ES 2156156	T3	20010616	ES 1994-922189	19940802
NO 9600389	A	19960130	NO 1996-389	19960130 <--
FI 9600504	A	19960202	FI 1996-504	19960202 <--
US 5792786	A	19980811	US 1996-592399	19960412 <--
US 6353124	B1	20020305	US 1998-16633	19980130
PRIORITY APPLN. INFO.:			AU 1993-325	A 19930802
			WO 1994-AU440	W 19940802
			US 1996-592399	A1 19960412

OTHER SOURCE(S) : MARPAT 123:199403  
GI



AB XYNHC(B)(CH2OR1)(CH2OR2) (X = residue of therapeutic compound; Y = null, 1-2 amino acids, peptide residue, spacer group; B = H, CH2OR3; R1, R2, R3 = H, Me, Et, OH, acyl group derived from a fatty acid; ≥1 of R1-R3 = acyl group derived from a fatty acid), were prepared. Thus, ibuprofen was stirred with O-(N-succinimidyl)-N,N,N',N'-tetramethyluronium tetrafluoroborate in DMF at pH 8.5; ATP1 [ATP1 = alanine trismonopalmitate; tris = 2-amino-2-hydroxymethyl-1,3-propanediol] in CH2Cl2 was added to give ibuprofen-ATP1 (I). I applied topically had a much greater protective effect than ibuprofen itself on UVB-induced skin burns on mice.

IT 50-78-2DP, Acetylsalicylic acid, **conjugates**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of drug **conjugates** incorporating amino acid spacers and fatty acid ester residues)

L48 ANSWER 34 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:277037 HCPLUS

DOCUMENT NUMBER: 122:55905

TITLE: Hydrolytically stable chemiluminescent labels and their **conjugates**, and assays therefrom by adduct formation

INVENTOR(S) : McCapra, Frank

PATENT ASSIGNEE(S) : London Diagnostics, Inc., USA  
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 140,040,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5338847	A	19940816	US 1992-860001	19920330 <--
FR 2625565	A1	19890707	FR 1988-17502	19881230 <--
AU 8929270	A1	19890801	AU 1989-29270	19881230 <--
AU 635890	B2	19930408		
DE 3891212	T	19910110	DE 1988-3891212	19881230 <--
JP 03501772	T2	19910418	JP 1989-501385	19881230 <--
JP 3172522	B2	20010604		
ZA 8900019	A	19891129	ZA 1989-19	19890103 <--
GB 2232995	A1	19910102	GB 1990-14479	19900628 <--
GB 2232995	B2	19921014		
GB 2251942	A1	19920722	GB 1992-3180	19920214 <--
GB 2252161	A1	19920729	GB 1992-3179	19920214 <--
GB 2252162	A1	19920729	GB 1992-3181	19920214 <--
US 5321136	A	19940614	US 1992-860410	19920330 <--
PRIORITY APPLN. INFO.:				
		US 1987-140040	B2	19871231
		US 1988-291843	B2	19881229
		US 1989-418956	B2	19891010
		WO 1988-US4719	A	19881230
		GB 1990-14479	A3	19901230

OTHER SOURCE(S) : MARPAT 122:55905

AB Described are a class of chemiluminescent compds. characterized by the presence an aryl ester, thioester or amide of a carboxylic acid substituted heterocyclic ring that is susceptible to chemical attack (such as by oxidic attack) to dissociate the heterocyclic ring to a transient compound. The heterocyclic ring is ring carbon-bonded to the carbonyl of the ester, thioester and amide moiety and possesses a heteroatom in an oxidation state that allows chemiluminescence by dissociating a compound ("intermediate") that decays to produce chemiluminescence, at the carbon bonded to the carbonyl. The aryl ring or ring system is ring carbon-bonded to the oxygen, sulfur or nitrogen of the ester, thioester or amide, as the case may be, and contains at least three substituents on a six-member ring. The substitution on the six-member ring comprises three or more groups acting in concert to sterically and electronically hinder hydrolysis of the ester, thioester or amide linkage. Significant to this invention is the presence of diortho electron donating substitution on the aryl unit in conjunction with meta and/or para substituents that possess a specific level of electron withdrawing capacity. That specific level of electron withdrawing capacity is a  $\sigma_{RP}$  value greater than 0 and less than 1. In addition, there is the presence of an adduct affixed at the carbon atom of the heterocyclic ring to which the ester, thioester or amide carbonyl carbon is directly bonded. Also in accordance with the present invention are conjugates of the labeling composition, assay systems utilizing the conjugates, and assay kits incorporating such chemiluminescent labels.

IT 52536-83-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (hydrolytically stable heterocyclic chemiluminescent labels and their conjugates, and assays therefrom by adduct formation)

ACCESSION NUMBER: 1995:260097 HCPLUS  
 DOCUMENT NUMBER: 122:38862  
 TITLE: Lysosomal enzyme-cleavable antitumor drug conjugates  
 INVENTOR(S): Firestone, Raymond Armand; Dubowchik, Gene Michael  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA  
 SOURCE: Eur. Pat. Appl., 84 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624377	A2	19941117	EP 1994-107501	19940513 <--
EP 624377	A3	19951115		
EP 624377	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 6214345	B1	20010410	US 1993-62366	19930514
CA 2123363	AA	19941115	CA 1994-2123363	19940511 <--
AU 9463026	A1	19941117	AU 1994-63026	19940512 <--
AU 687795	B2	19980305		
FI 9402237	A	19941115	FI 1994-2237	19940513 <--
NO 9401819	A	19941115	NO 1994-1819	19940513 <--
HU 66485	A2	19941128	HU 1994-1507	19940513 <--
CN 1100426	A	19950322	CN 1994-107589	19940513 <--
CN 1117760	B	20030813		
AT 212236	E	20020215	AT 1994-107501	19940513
PT 624377	T	20020731	PT 1994-107501	19940513
ES 2170755	T3	20020816	ES 1994-107501	19940513
JP 07070175	A2	19950314	JP 1994-101389	19940516 <--
			US 1993-62366	A 19930514

## PRIORITY APPLN. INFO.:

CASREACT 122:38862; MARPAT 122:38862

AB An antitumor drug is targeted to the site of tumor cells in a warm-blooded animal by administration as a **conjugate** L[AYmZmXnWn]D (L = cell-specific ligand; A = acyl; Y, Z = amino acid; X, W = spacer; D = drug functionalized with amino, OH, SH, CO<sub>2</sub>H, CHO, or ketone group for attachment to the spacer; m = 1-6; n = 0, 1), the peptide linker being cleavable by a lysosomal proteinase such as cathepsin B, C, or D to release the antitumor drug in pharmacol. active form selectively at the tumor site. These **conjugates** show less systemic toxicity than **conjugates** which rely on simple acid hydrolysis for drug release.

X and W are self-immolating spacers which are spontaneously cleaved from the drug moiety after enzymic cleavage of the peptide. Thus, a monoclonal antibody to antigen BR96, which is expressed by L2987 human lung carcinoma, was coupled to maleimidocaproyl-Phe-Lys-p-aminobenzylcarbamoyldoxorubicin (preparation given). This **conjugate** was highly cytotoxic against L2987 cells in vitro and in xenografts.

IT 72252-96-1DP, reaction products with doxorubicin derivative, antibody conjugates

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (lysosomal enzyme-cleavable antitumor drug **conjugates**)

L48 ANSWER 36 OF 52 HCPLUS -- COPYRIGHT 2004 ACS on STN

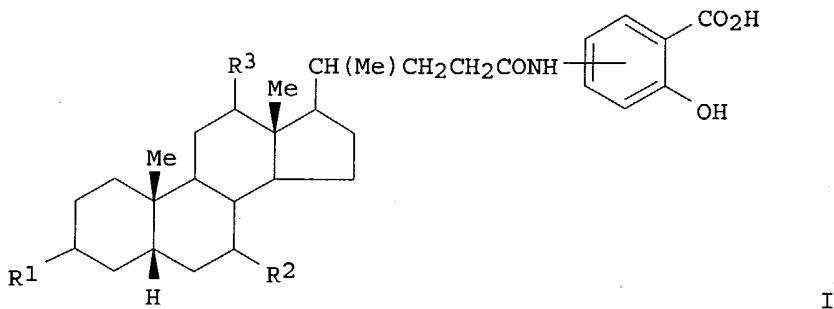
ACCESSION NUMBER: 1994:686635 HCPLUS

DOCUMENT NUMBER: 121:286635

TITLE: Compositions containing acid-aminosalicylate **conjugates** or salts thereof for treating/preventing a bile acid deficiency condition

INVENTOR(S) : and inflammatory disease  
 Sipos, Tibor  
 PATENT ASSIGNEE(S) : Digestive Care Inc., USA  
 SOURCE: U.S., 9 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5352682	A	19941004	US 1993-27693	19930308 <--
PRIORITY APPLN. INFO.:			US 1993-27693	19930308
OTHER SOURCE(S) :	MARPAT 121:286635			
GI				



AB Disclosed are compns. containing bile acid-aminosalicylate **conjugates** I (R1 = OH in  $\alpha$  or  $\beta$  position; R2 = OH; R3 = H, OH; R4 = H, acetyl) or a pharmaceutically acceptable salt thereof. Also disclosed are a process for preparing the **conjugates** and methods for treating/preventing gastrointestinal disorders, impaired liver function, etc. using the **conjugates**.

IT 159026-16-1P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (compns. containing acid-aminosalicylate **conjugates** or salts thereof for treating/preventing a bile acid deficiency condition and inflammatory disease)

IT 159026-19-4 159026-22-9 159026-24-1  
 159026-25-2 159026-26-3  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. containing acid-aminosalicylate **conjugates** or salts thereof for treating/preventing a bile acid deficiency condition and inflammatory disease)

L48 ANSWER 37 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:409816 HCPLUS  
 DOCUMENT NUMBER: 121:9816  
 TITLE: Preparation of glycine-**conjugated** bile acids  
 for treatment of hepatic insufficiency  
 INVENTOR(S) : Bonaldi, Antonio; Molinari, Egidio; Roda, AldoEgidio  
 PATENT ASSIGNEE(S) : Erregierre Industria Chimica SpA, Italy  
 SOURCE: Eur. Pat. Appl., 9 pp.  
 CODEN: EPXXDW

DOCUMENT TYPE: **Patent**  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 583566	A2	19940223	EP 1993-109377	19930611 <--
EP 583566	A3	19951227		
EP 583566	B1	19980923		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2092218	AA	19940205	CA 1993-2092218	19930323 <--
JP 06087883	A2	19940329	JP 1993-67941	19930326 <--
AT 171458	E	19981015	AT 1993-109377	19930611 <--
US 5616741	A	19970401	US 1995-468665	19950606 <--
PRIORITY APPLN. INFO.:			IT 1992-MI1924	19920804
			US 1993-32282	19930317
			US 1994-364241	19941227

OTHER SOURCE(S): MARPAT 121:9816

AB YNHCH<sub>2</sub>CO<sub>2</sub>H (Y = the acyl radical of a bile acid) were prepared for treatment of hepatic insufficiency (no data) by condensation of H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>H with the Ph ester of a bile acid. prepared from an acid anhydride of said bile acid. Thus, ursodeoxycholic acid was stirred with ClCO<sub>2</sub>Et in dioxane containing Et<sub>3</sub>N and the resultant solution added dropwise to 4-(EtCO)C<sub>6</sub>H<sub>4</sub>OH in EtOAc and the whole maintained 1-2h at 35-40° to give the Ph ester which was refluxed 5h with H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>H in EtCHMeOH containing aqueous NaOH to give glycoursodeoxycholic acid.

IT 155587-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of glycine conjugate of bile acid, for treatment of hepatic insufficiency)

L48 ANSWER 38 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:409815 HCPLUS  
 DOCUMENT NUMBER: 121:9815  
 TITLE: Preparation of taurine-conjugated bile acids  
 INVENTOR(S): Bonaldi, Antonio; Molinari, Egidio  
 PATENT ASSIGNEE(S): Erregierre Industria Chimica SpA, Italy  
 SOURCE: Eur. Pat. Appl., 7 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 582891	A2	19940216	EP 1993-112035	19930728 <--
EP 582891	A3	19950315		
EP 582891	B1	19971015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5362891	A	19941108	US 1993-97103	19930726 <--
CA 2101381	AA	19940205	CA 1993-2101381	19930727 <--
AT 159259	E	19971115	AT 1993-112035	19930728 <--
JP 06157584	A2	19940603	JP 1993-193411	19930804 <--
PRIORITY APPLN. INFO.:			IT 1992-MI1925	19920804
OTHER SOURCE(S): CASREACT 121:9815; MARPAT 121:9815				
AB (YNHCH <sub>2</sub> CH <sub>2</sub> SO <sub>3</sub> ) <sub>1</sub> Y (Y = the acyl residue of a bile acid selected from ursodeoxycholic, chenodeoxycholic, lithocholic, 5α-7β-12α-trihydroxycholanic, 3α-7β-dihydroxy-12-ketocholanic, deoxycholic, dehydrocholic, iodeoxycholic, and iocholic acids; M = H, Na,				

K, Mg, Ca; l = valence of M) were prepared by treating the Ph ester of a bile acid (prepared via an acid anhydride of the bile acid) with taurine.  
 IT 155587-59-0P 155587-60-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of taurine-conjugated bile acid)

L48 ANSWER 39 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:293603 HCAPLUS

DOCUMENT NUMBER: 120:293603

TITLE: Maleimide derivatives as linking agents for preparation of antigen **conjugates**

INVENTOR(S): Palumbo, Paul S.

PATENT ASSIGNEE(S): PB Diagnostic Systems, Inc., USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

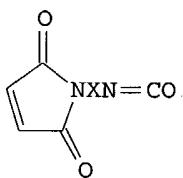
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322677	A1	19931111	WO 1993-US3346	19930408 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5294536	A	19940315	US 1992-872539	19920423 <--
AU 9339763	A1	19931129	AU 1993-39763	19930408 <--
AU 662627	B2	19950907		
EP 606411	A1	19940720	EP 1993-909297	19930408 <--
EP 606411	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06508639	T2	19940929	JP 1993-516097	19930408 <--
AT 177113	E	19990315	AT 1993-909297	19930408 <--
ES 2130257	T3	19990701	ES 1993-909297	19930408 <--
PRIORITY APPLN. INFO.:			US 1992-872539	19920423
			WO 1993-US3346	19930408

OTHER SOURCE(S): MARPAT 120:293603

GI



AB Maleimide derivs. I (X = alkyl or aromatic or saturated carbocyclic spacer group) are linking agents useful for **conjugating** a compound having an OH or NH<sub>2</sub> group to a compound having an SH group. I can be used to **conjugate** a biol. active group such as an antigen to a protein such as an enzyme to provide an enzyme-labeled antigen for use in enzyme-amplified immunoassay methods for analytes or metabolites in sample fluids. The compound can also be used to immobilize a material such as a protein to a solid support. Thus, cyanocobalamin was activated by reaction with p-maleimidobenzene isocyanate (preparation given) in DMSO under Ar at room temperature in the dark, and the product was **conjugated**

IT with alkaline phosphatase (thiolated by treatment with 2-iminothiolane-HCl).  
**153146-07-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conjugation with alkaline phosphatase)

IT **153146-05-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction with sodium hydroxide)

IT **37793-53-6**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with benzyl glutamate hydroxypropylamide protected derivative)

L48 ANSWER 40 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:155886 HCPLUS  
 DOCUMENT NUMBER: 120:155886  
 TITLE: Nucleic acid hybridization assays using immobilized probes with improved sensitivity  
 INVENTOR(S): Van Ness, Jeffrey; Petrie, Charles R.; Tabone, John C.; Vermeulen, Nicolaas M. J.; Reed, Michael W.  
 PATENT ASSIGNEE(S): Microprobe Corp., USA  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400600	A1	19940106	WO 1993-US6044	19930624 <--
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345441	A1	19940124	AU 1993-45441	19930624 <--
US 5667976	A	19970916	US 1996-601419	19960214 <--
PRIORITY APPLN. INFO.:			US 1992-907931	19920625
			US 1990-522442	19900511
			WO 1993-US6044	19930624
			US 1994-341465	19941116

AB Oligonucleotides are covalently immobilized onto a polymer-coated solid support, such as a bead, with a large number of activatable moieties, preferably primary and secondary amines. The oligonucleotides are activated with a monofunctional or multifunctional reagent, preferably the homotrifunctional reagent cyanuric chloride. The resultant covalently immobilized oligonucleotides on the support serve as nucleic acid probes for use in hybridization assays. The beads or similar structures can be employed free in solution, such as microtiter wells; in a flow-through system, such as in a column; or in a dipstick. Dichlorotriazine oligonucleotides and processes for activating oligonucleotides by treatment with cyanuric chloride and derivs. are described. Nylon beads (3/32 in. diameter) were washed with N-Me pyrrolidinone, treated with triethyloxonium tetrafluoroborate 0.1 M in N-Me pyrrolidinone, washed and incubated a solution of polyethylenimine (10,000 mol. weight) 3% and washed extensively with N-Me pyrrolidinone, filter wash buffer and water. Cyanuric chloride derivatized 5'-amine-linked oligonucleotides and immobilized on the coated beads by mixing together at room temperature for 60 min in borate buffer (0.1 M, pH 8.3), washed, treated with succinic anhydride to block unreacted amine groups, and washed extensively. Similar methods were used for the immobilization of

iodoacetamidoxylenated oligonucleotides. A number of polyamide coatings were compared for their ability to immobilize oligonucleotides with the polyethyleneimine-coated beads 25-100 times more sensitive than others tested. The beads also showed a 5-fold higher sensitivity than a com. nylon membrane using a number of different hybridization and protection procedures.

IT 153365-71-0DP, conjugates with oligonucleotides

RL: PREP (Preparation)

(preparation of, immobilization on polymer-coated carriers of)

L48 ANSWER 41 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:595659 HCAPLUS

DOCUMENT NUMBER: 119:195659

TITLE: Inactivation of cytotoxic drugs in cytotoxic drug therapy, and prodrug therapy kit

INVENTOR(S): Bagshawe, Kenneth Dawson

PATENT ASSIGNEE(S): UK

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9313806	A1	19930722	WO 1993-GB40	19930111 <--
W: CA, GB, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 620742	A1	19941026	EP 1993-901821	19930111 <--
R: DE, ES, FR, GB, IT, NL, SE				
JP 07506339	T2	19950713	JP 1993-512252	19930111 <--
GB 2276624	A1	19941005	GB 1994-10237	19940523 <--
GB 2276624	B2	19941005		
PRIORITY APPLN. INFO.:			GB 1992-415	A 19920109
			GB 1992-4104	A 19920226
			WO 1993-GB40	W 19930111

AB The invention relates to inactivation of cytotoxic drugs to limit their undesirable side effects in cytotoxic drug therapy. The title cytotoxic prodrug kit comprises three components: a 1st component containing a target cell-specific portion and an enzymically active portion; a 2nd component containing a cytotoxic prodrug portion convertible by the enzymically active portion to a cytotoxic drug; and a 3rd component containing a portion capable of at least partly restraining the component from leaving the vascular compartment of a host when the compound is administered to the vascular compartment, and an inactivating portion capable of converting the cytotoxic drug to a less toxic substance. Thus, a prodrug kit was prepared which comprises a 1st component containing antibody to carcinoembryonic antigen conjugated to carboxypeptidase A (CPA), a 2nd component containing Ala-methotrexate as prodrug, and a 3rd component containing carboxypeptidase G2 (CPG2) conjugated to dextran for confining CPG2 activity to the vascular compartment. To reduce enzyme activity at nontumor sites, a galactosylated anti-CPA monoclonal antibody (MAb) is given to eliminate enzyme activity in plasma, and then the nongalactosylated anti-CPA MAb is given to inactivate residual enzyme activity in other nontumor tissues.

IT 150502-68-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and conjugation to hemocyanin of, for immunogen for preparing antibody for differentiating benzoic acid mustard from prodrug)

IT 150502-67-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reaction of, in immunogen preparation for preparing antibody for differentiating benzoic acid mustard from prodrug)

IT 150502-68-4DP, hemocyanin conjugates

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, for preparing antibody for differentiating benzoic acid mustard from prodrug)

L48 ANSWER 42 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:553878 HCAPLUS

DOCUMENT NUMBER: 119:153878

TITLE: Cocaine derivatives and cocaine derivative conjugates with polypeptides and label for immunoassays

INVENTOR(S): Buechler, Kenneth Francis

PATENT ASSIGNEE(S): Biosite Diagnostics Inc., USA

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312111	A1	19930624	WO 1992-US10857	19921216 <--
W: AU, CA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5233042	A	19930803	US 1991-808515	19911216 <--
AU 9333220	A1	19930719	AU 1993-33220	19921216 <--
EP 575581	A1	19931229	EP 1993-901270	19921216 <--
EP 575581	B1	20001004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2106563	C	19971125	CA 1992-2106563	19921216 <--
AT 196767	E	20001015	AT 1993-901270	19921216
PRIORITY APPLN. INFO.:			US 1991-808515	A 19911216
			WO 1992-US10857	A 19921216

OTHER SOURCE(S): MARPAT 119:153878

AB Compds. are provided for the preparation of reagents to be used in immunoassays of cocaine and cocaine metabolites. The compds. are derivs. of cocaine which are conjugated to labels or to antigenic proteins or polypeptides for the preparation of antibodies. The free thiol form of a benzoyllecgonine analog was synthesized and conjugated to reactive maleimide-derivatized keyhole limpet hemocyanin (KLH), bovine serum albumin (BSA), or alkaline phosphatase. The KLH conjugate was used to prepare antibodies; the BSA conjugate was used in an ELISA to screen for benzoyllecgonine-reactive antibodies.

IT 141627-66-9P 141627-67-0P 149864-35-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of reagents for cocaine immunoassay)

IT 142209-49-2DP, conjugates with albumin and hemocyanin and alkaline phosphatase 149864-36-8DP, reaction products with albumin and ferritin and alkaline phosphatase

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, for antibody preparation and label for cocaine immunoassay)

L48 ANSWER 43 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:512953 HCAPLUS

DOCUMENT NUMBER: 119:112953

TITLE: Homogeneous immunoassay using enzyme inhibitors

INVENTOR(S): Cromer, Remy; Peries, Rohan; Davalian, Dariush; Skold,

PATENT ASSIGNEE(S): Carl N.; Ullman, Edwin F.; Radika, Kesavan  
 SOURCE: Syntex (U.S.A.) Inc., USA

Can. Pat. Appl., 86 pp.  
 CODEN: CPXXEB

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2076291	AA	19930220	CA 1992-2076291	19920818 <--
US 5972630	A	19991026	US 1991-747082	19910819
EP 532187	A1	19930317	EP 1992-307525	19920818 <--
EP 532187	B1	19961030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 05249112	A2	19930928	JP 1992-262661	19920818 <--
AT 144840	E	19961115	AT 1992-307525	19920818 <--
US 5919641	A	19990706	US 1995-451326	19950526 <--
PRIORITY APPLN. INFO.:			US 1991-747082	19910819

AB A method is described for determining the presence of an analyte which is a specific binding pair member in a sample suspected of containing the analyte. The method involves (1) bringing together, in an aqueous medium, the sample, an enzyme bound to a 1st specific binding pair member, and an inhibitor of the enzyme bound to a 2nd specific binding pair member, wherein each specific binding pair member is capable of binding to the analyte or to a specific binding pair member complementary to the analyte; (2) analyzing the medium for enzyme activity; and (3) relating enzyme activity to the amount of analyte present in the medium. Compns. of matter and kits are also disclosed. An assay for digoxin using e.g. randomly labeled anti-digoxin antibody-deoxygalactostatin inhibitor **conjugate** and thiol-labeled digoxin-galactosidase **conjugate** is described; enzyme activity was a function of digoxin concentration. Other assays for digoxin determination and for cyclosporine determination are also described, as is preparation of appropriate **conjugates**.

IT 149379-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in reagent preparation for immunoassay with enzyme-antigen **conjugate** and antibody-enzyme inhibitor **conjugate**)

IT 149379-54-4DP, anti-dogoxin antibody **conjugates**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, for digoxin immunoassay with enzyme-antigen **conjugate** and antibody-enzyme inhibitor **conjugate**)

IT 149379-54-4P 149379-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, for immunoassay with enzyme-antigen **conjugate** and antibody-enzyme inhibitor **conjugate**)

IT 149379-59-9P 149379-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, in reagent preparation for immunoassay with enzyme-antigen **conjugate** and antibody-enzyme inhibitor **conjugate**)

L48 ANSWER 44 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:250272 HCPLUS

DOCUMENT NUMBER: 116:250272

TITLE: Analytical test devices for competition assay for nonprotein antigens, such as drugs of abuse, using immunochromatographic techniques

INVENTOR(S): Sun, Ming; Pfeiffer, Francis R.

PATENT ASSIGNEE(S) : Drug Screening Systems, Inc., USA  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9119980	A1	19911226	WO 1991-US4048	19910606 <--
W: AU, BR, CA, FI, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5238652	A	19930824	US 1990-540844	19900620 <--
CA 2085731	AA	19911221	CA 1991-2085731	19910606 <--
AU 9180542	A1	19920107	AU 1991-80542	19910606 <--
EP 535133	A1	19930407	EP 1991-912282	19910606 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508020	T2	19931111	JP 1991-511490	19910606 <--
PRIORITY APPLN. INFO.:			US 1990-540844	19900620
			WO 1991-US4048	19910606

OTHER SOURCE(S) : MARPAT 116:250272

AB A self-contained anal. device is described which requires addition of only a few drops of body fluid (urine etc.) to initiate a complex, multistep immunoassay based on immunochromatog. on impregnated membranes that produces a visually perceptible precipitin reaction and does not require instrumentation or sophisticated training to assess the results. The device can be used to screen simultaneously for ≥5 drugs of abuse, e.g. amphetamines, cocaine, opiates, phencyclidine, and cannabinoids. The device comprises: (1) a housing having means for introduction of a body fluid sample and means defining a flow path for the sample; (2) microscopic colored latex particles, sensitized with antibodies to the title nonprotein antigen, which become suspended in the body fluid and move with it along the flow path; (3) a chromatog. membrane support, impregnated at a predetd. site along the flow path with an immobilized drug conjugate which can complex with the antibodies. In the absence of nonprotein antigen in the body fluid, the latex particles bind to the drug conjugate on the membrane, producing a colored mark; no mark forms if the nonprotein antigen is present in the body fluid. Thus, opiates were detected in urine by use of colored latex particles coated with a morphine-specific antibody, which traverse a nylon membrane support bearing at one point an albumin-carboxymethylmorphine conjugate.

IT 141183-85-9P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conjugation of, with albumin)

IT 100323-12-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, with ecgonine)

L48 ANSWER 45 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:113525 HCPLUS  
 DOCUMENT NUMBER: 116:113525  
 TITLE: Cyclodextrin inclusion complexes as pharmaceutical carriers  
 INVENTOR(S): Weinshenker, Ned M.  
 PATENT ASSIGNEE(S) : Cyclex, Inc., USA  
 SOURCE: U.S., 23 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5068227	A	19911126	US 1989-298634	19890118 <--
PRIORITY APPLN. INFO.:			US 1989-298634	19890118
AB	Cyclodextrins (I) are coupled to biorecognition mols. such as antibodies. The cyclodextrins so coupled provide a cavity or complexation zone into which active agents such as labels or drugs may be incorporated. The active agent forms a noncovalently bonded inclusion complex within the cavity of I and thus remains associated with I and the coupled biorecognition mol. and thus can be delivered to the other half of the biospecific recognition. IgG-polyglycine-6-(3-carboxypropanamido)-6-deoxy- $\beta$ -cyclodextrin (II) (preparation is given) was added to methotrexate to obtain an I-II inclusion complex.			
IT	139143-89-8P 139143-90-1DP, conjugates with IgG 139143-91-2DP, conjugates with IgG 139143-92-3DP, conjugates with IgG 139143-93-4DP, conjugates with IgG 139143-94-5DP, conjugates with IgG 139143-95-6DP, conjugates with IgG 139143-97-8P 139143-98-9P			
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)			
IT	72252-96-1			
	RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with aminodeoxycyclodextrin)			

L48 ANSWER 46 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:51526 HCAPLUS

DOCUMENT NUMBER: 116:51526

TITLE: Polyethylene glycol conjugates with drugs for directed delivery to digestive organs

INVENTOR(S): Koyama, Yoshiyuki; Kojima, Shuji; Miyazaki, Tsuyoshi; Suginaka, Akinori; Matsumoto, Takeo; Murata, Yoshishige

PATENT ASSIGNEE(S): Nippon Oil and Fats Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 452179	A2	19911016	EP 1991-400815	19910326 <--
EP 452179	A3	19920318		
EP 452179	B1	19960612		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 05132431	A2	19930528	JP 1991-86013	19910327 <--
US 5130126	A	19920714	US 1991-676384	19910328 <--
PRIORITY APPLN. INFO.:			JP 1990-77068	19900328
			JP 1990-179691	19900709

AB A polymer-drug conjugates having directional characteristics to digestive organs comprises a drug combined with polyoxyalkylene glycol having  $\geq 1$  terminal functional groups or its copolymers. The drug can be administered orally or i.v. to maintain the concentration in the blood for a long time and to absorb or take in the digestive organs such as stomach and intestine directly. PEG having a terminal amino group was acylated with O-acetyloxybenzoyl chloride in benzene in the presence of triethylamine. The resulting PEG-aspirin (I) was 125I-labeled and was

i.v. injected in mouse tails. The mice were sacrificed and the amount of I was determined in various organs. I was mainly accumulated in small intestine 3-4 times as much as in muscles.

IT 50-78-2DP, Aspirin, **conjugates** with PEG

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for directed delivery to digestive organs)

L48 ANSWER 47 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:499411 HCAPLUS

DOCUMENT NUMBER: 115:99411

TITLE: Polyamide **conjugates** with peptide containing helper T-cell epitope as site-directed immunologic agents

INVENTOR(S): Arlinghaus, Ralph B.; Sparrow, James T.

PATENT ASSIGNEE(S): University of Texas System, USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9015627	A1	19901227	WO 1990-US767	19900209 <--
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 5126399	A	19920630	US 1989-368713	19890620 <--
AU 9059581	A1	19910108	AU 1990-59581	19900209 <--
PRIORITY APPLN. INFO.:			US 1989-368713	19890620
			US 1986-858216	19860430
			US 1989-368708	19890619
			WO 1990-US767	19900209

AB Peptidyl-resin **conjugates** are made of an immunogenic/antigenic peptide **conjugated** to a polyamide resin, wherein the peptide incorporates a helper T-cell epitope. The inclusion of a T-cell epitope in this peptide sequence provides benefits in the preparation of site-directed reagents intended as immunogens. A synthetic peptide predicted from Abelson murine leukemia virus abl oncogene (residues 389-403) was synthesized with a T-cell active epitope of 7 amino acids placed at its N-terminus (T-abl-resin). The T-abl-resin construct stimulated the immune response in rabbits, giving significantly higher specific antibody titers than abl-resin controls. The **conjugates** may be used in immunoassays and manufacturing vaccines.

IT 86123-09-3P

RL: PREP (Preparation)  
(preparation of, as linker, for **conjugation** of helper T-cell epitope peptides to polyamide resins)

L48 ANSWER 48 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:175282 HCAPLUS

DOCUMENT NUMBER: 112:175282

TITLE: Thiol-reactive oligonucleotide intermediates and processes for **conjugation** of oligonucleotides with enzymes

INVENTOR(S): Smith, Todd M.

PATENT ASSIGNEE(S): Microprobe Corp., USA

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8906701	A1	19890727	WO 1989-US229	19890120 <--
W: AU, JP, US RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8930478	A1	19890811	AU 1989-30478	19890120 <--
PRIORITY APPLN. INFO.:			US 1988-148258	19880125
			WO 1989-US229	19890120

OTHER SOURCE(S): MARPAT 112:175282

AB Thiol-reactive polynucleotide compds. and processes for use of these compds. to covalently **conjugate** an enzyme label to an oligonucleotide are described. Oligonucleotides are made thiol-reactive through a chemical modification which comprises the addition of a primary amine. The oligonucleotide so modified is then reacted with a heterobifunctional reagent in an acylation reaction, the heterobifunctional reagent having an amino-reactive and a thiol-reactive portion, such as an N-hydroxysuccinimidyl (NHS) ester and an  $\alpha$ -bromoacetamide, resp. The enzyme is derivatized with a blocked, thiol-containing amino reactive reagent, such as dithio-bis-propionic acid N-hydroxysuccinimide ester. **Conjugation** is achieved under mild physiol. conditions through a specific reaction of the reactive moieties. An aminoethyl linker arm with a terminal amino group was attached to the 5'-OH of a synthetic 24-mer complementary to nucleotides 694-717 of the E7 vinal gene of human papilloma virus type 16 and then reacted with the thiol-reactive reagent N-succinimidyl (4-iodoacetyl)aminobenzoate (SIAB). The SIAB-oligonucleotide was mixed 4:1 with alkaline phosphatase which had been thiolated with dithiobis(succinimidylpropionate) to prepare the alkaline phosphatase-labeled oligonucleotide. The **conjugate** was used to detect the virus in CaSKi cells.

IT 72252-96-1DP, oligonucleotide derivative reaction products, thiolated alkaline phosphatase **conjugates**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of and human papilloma virus detection with)

L48 ANSWER 49 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:502583 HCAPLUS  
 DOCUMENT NUMBER: 105:102583,  
 TITLE: Antibody-therapeutic agent **conjugates**  
 INVENTOR(S): Goers, John Walter; Lee, Chyi; Siegel, Richard Charles; McKearn, Thomas Joseph; King, Hurley Dalton; Coughlin, Daniel James; Rodwell, John Dennis; Alvarez, Vernon Leon

PATENT ASSIGNEE(S): Cytogen Corp., USA  
 SOURCE: Eur. Pat. Appl., 116 pp.  
 CODEN: EPXXDW

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 175617	A2	19860326	EP 1985-401776	19850913 <--
EP 175617	A3	19880615		
EP 175617	B1	19911030		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4867973	A	19890919	US 1984-650375	19840913 <--
WO 8601720	A1	19860327	WO 1985-US1700	19850910 <--

W: AU, DK, JP				
AU 8548071	A1	19860408	AU 1985-48071	19850910 <--
AU 583854	B2	19890511		
JP 62500175	T2	19870122	JP 1985-504137	19850910 <--
CA 1326834	A1	19940208	CA 1985-490424	19850911 <--
ZA 8507064	A	19870527	ZA 1985-7064	19850913 <--
AT 68974	E	19911115	AT 1985-401776	19850913 <--
DK 8602183	A	19860711	DK 1986-2183	19860512 <--
AU 8930161	A1	19890713	AU 1989-30161	19890221 <--
US 5156840	A	19921020	US 1989-327881	19890320 <--
US 5140104	A	19920818	US 1989-426374	19891024 <--
PRIORITY APPLN. INFO.:			US 1984-650375	19840913
			US 1984-650754	19840913
			US 1982-356315	19820309
			US 1982-442050	19821116
			US 1984-646327	19840831
			US 1984-646328	19840831
			WO 1985-US1700	19850910
			EP 1985-401776	19850913
			US 1986-861037	19860508

AB Antibody-therapeutic agent **conjugates** are prepared by attaching a therapeutic agent to an antibody or antibody fragment directed against a target antigen. The therapeutic agent is attached either directly or via a cleavable or noncleavable linker to the antibody or antibody fragment. Therapeutic in vivo methods utilizing such antibody-therapeutic agent **conjugates** are described. Addnl., photosensitizers suitable for use in preparing antibody-therapeutic agents are described.

IT 72252-96-1DP, reaction products with Ficoll hydrazide

RL: PREP (Preparation)

(preparation of, for sulfhydryl **conjugation** with antibodies)

L48 ANSWER 50 OF 52 HCPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:483832 HCPLUS

DOCUMENT NUMBER: 103:83832

TITLE: Macromolecular **conjugates** to hemoglobin and their use

PATENT ASSIGNEE(S): Braun, B., Melsungen A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3340592	A1	19850523	DE 1983-3340592	19831110 <--
US 4698387	A	19871006	US 1984-665354	19841026 <--
FI 8404331	A	19850511	FI 1984-4331	19841105 <--
EP 142125	A2	19850522	EP 1984-113405	19841107 <--
EP 142125	A3	19860528		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 537507	A1	19860601	ES 1984-537507	19841108 <--
DK 8405349	A	19850511	DK 1984-5349	19841109 <--
NO 8404494	A	19850513	NO 1984-4494	19841109 <--
JP 60123425	A2	19850702	JP 1984-237409	19841110 <--

PRIORITY APPLN. INFO.: DE 1983-3340592 19831110

AB Macromol. **conjugates** to Hb composed of a physiol. inert polymer, an ionic ligand, and human Hb A in which the polymer is bound in a reversible and noncovalent manner to the allosteric center of Hb by the ligand are described. Thus, 1 g of lyophilized 3-bromo-2-hydroxypropyl dextran (BHP-Dextran) dissolved in a Na borate buffer was mixed with a 5

$\text{mM}$  inositol hexaphosphate (IHP) solution and allowed to stand at room temperature for 24 h. An aqueous glycerin solution (0.1M) was then added and the mixture stirred for 10 h. The reaction product, IHP-BHP-Dextran, was filtered and lyophilized. An 18% Hb A solution (pH 7.4) was deoxygenated and mixed with IHP-BHP-Dextran (1.0 g) and 5% glutardialdehyde, stirred for 30 min, and the product reduced by the addition of NaBH4. The reaction mixture was filtered and adjusted to a 6% Hb concentrate with 0.1M phosphate buffer (pH 7.4). The half saturation pressure of this preparation was 47.9 mbar. These macromol. Hb **conjugates** can be used in medicine as auxiliary agents for blood composition materials or blood plasma diluting agents.

IT 50-78-2DP, derivs., Hb-polymer **conjugates**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and medicinal uses of)

L48 ANSWER 51 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:544169 HCAPLUS

DOCUMENT NUMBER: 101:144169

TITLE: Procainamide and NAPA immunogens, antibodies, labeled **conjugates**, and related derivatives

INVENTOR(S): Buckler, Robert Thomas; Ward, Frederick Edmund

PATENT ASSIGNEE(S): Miles Laboratories, Inc., USA

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 113102	A2	19840711	EP 1983-112863	19831221 <--
R: CH, DE, FR, GB, IT, LI, NL, SE				
AU 8320583	A1	19840705	AU 1983-20583	19831026 <--
AU 546777	B2	19850919		
JP 59130848	A2	19840727	JP 1983-245602	19831228 <--
ES 528657	A1	19841001	ES 1984-528657	19840103 <--
US 4673763	A	19870616	US 1985-713041	19850318 <--
US 4795828	A	19890103	US 1986-911524	19860925 <--
PRIORITY APPLN. INFO.:			US 1983-455223	19830103
			US 1985-713041	19850318

GI



AB Antibodies to and labeled **conjugates** of procainamide (I) [51-06-9] and N-acetylprocainamide (NAPA) [32795-44-1] are prepared for use in nonradioisotopic immunoassay of the 2 agents in biol. fluids. The immunogens comprise the drugs coupled at the  $\alpha$ -position of the amide-side chain to an immunogenic carrier material. The labeled **conjugates** and synthetic intermediates are also  $\alpha$ -position derivs. of the drugs or their precursors.

IT 32795-44-1

RL: ANT (Analyte); ANST (Analytical study)  
(determination of, in body fluid by immunoassay)

IT 32795-44-1DP, immunogen or labeled **conjugates**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antibodies to, for immunoassay)

L48 ANSWER 52 OF 52 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:400702 HCAPLUS  
 DOCUMENT NUMBER: 95:702  
 TITLE: Procainamide antigen **conjugates** and  
 antibodies  
 INVENTOR(S): Pirio, Marcel R.; Singh, Prithipal  
 PATENT ASSIGNEE(S): Syva Co., USA  
 SOURCE: U.S., 8 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4235969	A	19801125	US 1978-903420	19780508 <--
PRIORITY APPLN. INFO.:			US 1978-903420	19780508
GI				



AB Compds. are provided for use in the preparation of reagents which can be used in immunoassays for the determination of benzamides of N,N-dialkylethyleneamines particularly procainamide (I) [51-06-9] and acetyl procainamide [32795-44-1]. A group is provided, at a particular site of the drug, which links the above compds. and an antigen, with the resulting **conjugate** being employed for the preparation of antibodies. The antibodies find particular use in competitive protein binding assays. **Conjugates** to enzymes are prepared which find particular use in homogeneous enzyme immunoassays.

IT 32795-44-1  
 RL: ANT (Analyte); ANST (Analytical study)  
 (determination of, in blood by enzyme immunoassay)

IT 77762-55-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and protein **conjugation**)

IT 77762-55-1DP, protein **conjugates**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, procainamide determination in blood by, immunoassay in relation to)

=> select hit rn 148 1-52  
 E519 THROUGH E674 ASSIGNED

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 FILE 'REGISTRY' ENTERED AT 11:08:44 ON 14 NOV 2004  
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STRUCTURE FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

DICTIONARY FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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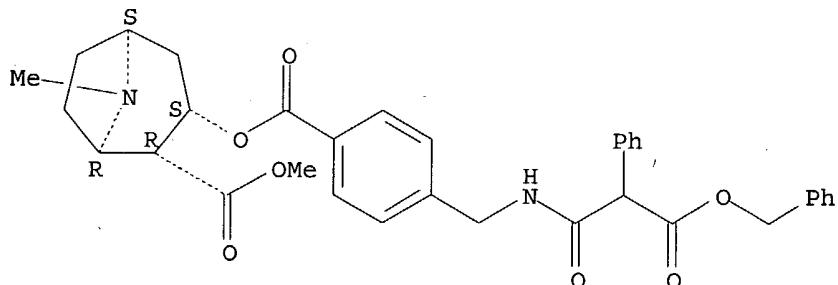
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L49 ANSWER 1 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 735331-75-6 REGISTRY  
CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[4-[[[1,3-dioxo-2-phenyl-3-(phenylmethoxy)propyl]amino]methyl]benzoyl]oxy]-8-methyl-, methyl ester,  
(1R,2R,3S,5S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C34 H36 N2 O7  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



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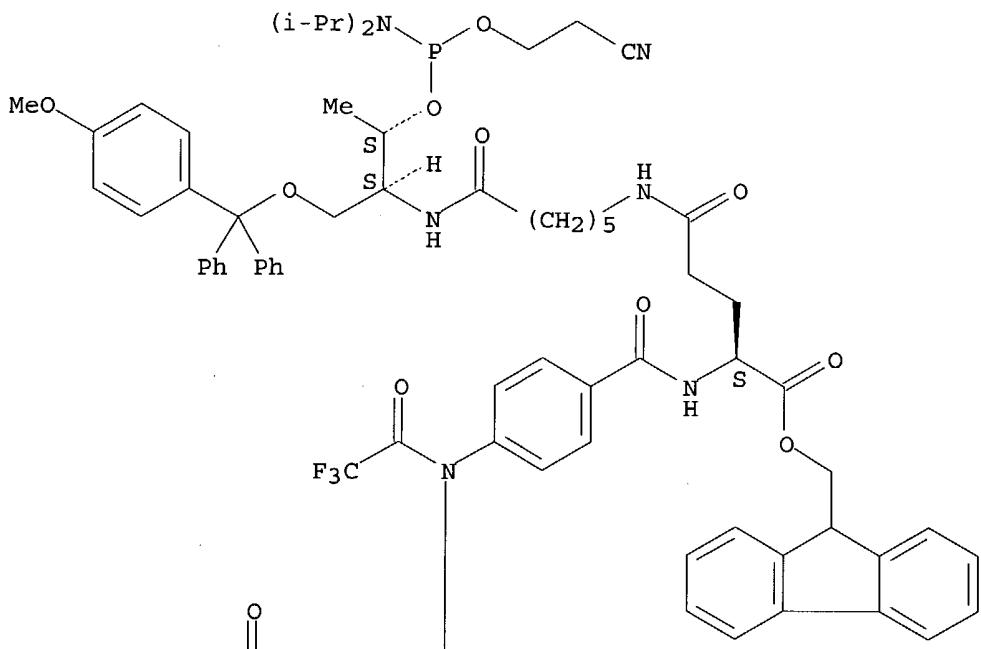
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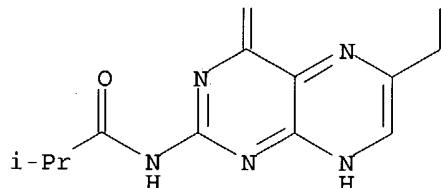
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SR CA  
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DT.CA CAplus document type: Journal; Patent  
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)  
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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REFERENCE 1: 141:34630

REFERENCE 2: 138:14152

REFERENCE 3: 137:185756

L49 ANSWER 9 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 383898-24-6 REGISTRY

CN L-Glutamine, N-[6-[5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-5-methyluridin-2'-O-yl]hexyl]-N2-[4-[[[1,4-dihydro-2-[(2-methyl-1-oxopropyl)amino]-4-oxo-6-pteridinyl]methyl](trifluoroacetyl)amino]benzoyl]-, methyl ester (9CI)  
 (CA INDEX NAME)

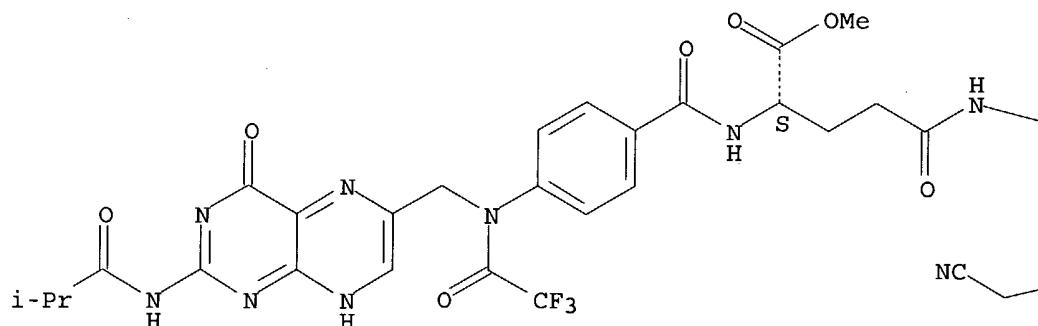
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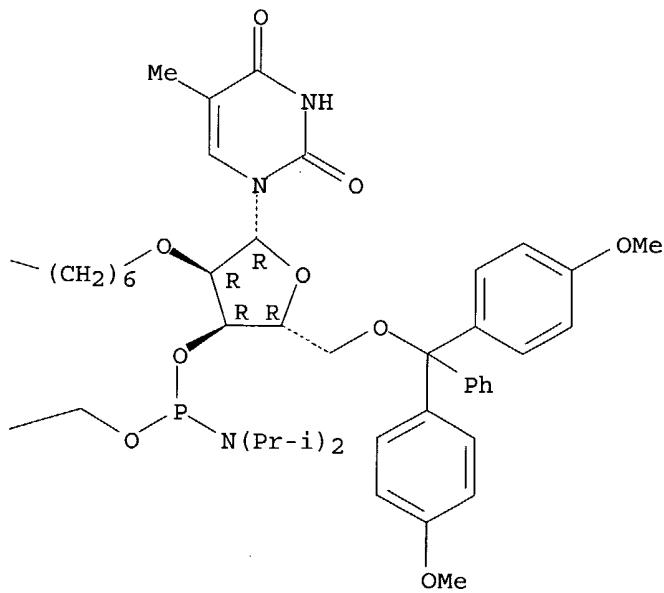
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 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: RACT (Reactant or reagent)

Absolute stereochemistry.

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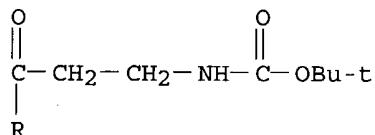
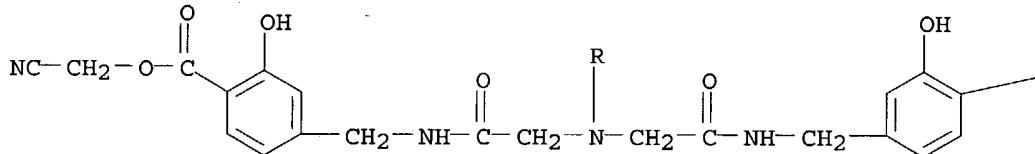
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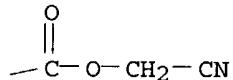
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L49 ANSWER 13 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 311344-02-2 REGISTRY  
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-β-alanyl-N-[[4-  
   [(cyanomethoxy)carbonyl]-3-hydroxyphenyl]methyl]-N2-[2-[[[4-  
   [(cyanomethoxy)carbonyl]-3-hydroxyphenyl]methyl]amino]-2-oxoethyl]- (9CI)  
   (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C32 H36 N6 O11  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
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 RL.P Roles from patents: RACT (Reactant or reagent)

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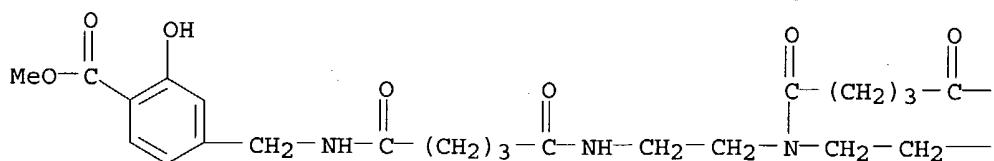
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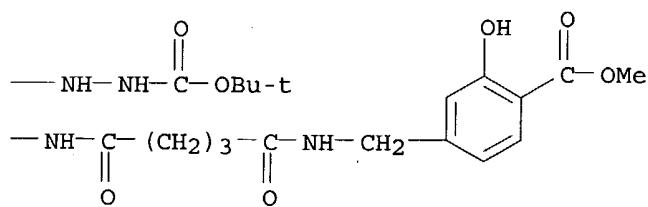
REFERENCE 1: 134:29208

L49 ANSWER 16 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
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 CN 2,3,9,12,18-Pentaazanonadecanoic acid, 19-[3-hydroxy-4-  
   (methoxycarbonyl)phenyl]-9-[2-[[5-[[3-hydroxy-4-  
   (methoxycarbonyl)phenyl]methyl]amino]-1,5-dioxopentyl]amino]ethyl]-  
   4,8,13,17-tetraoxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C42 H59 N7 O14  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

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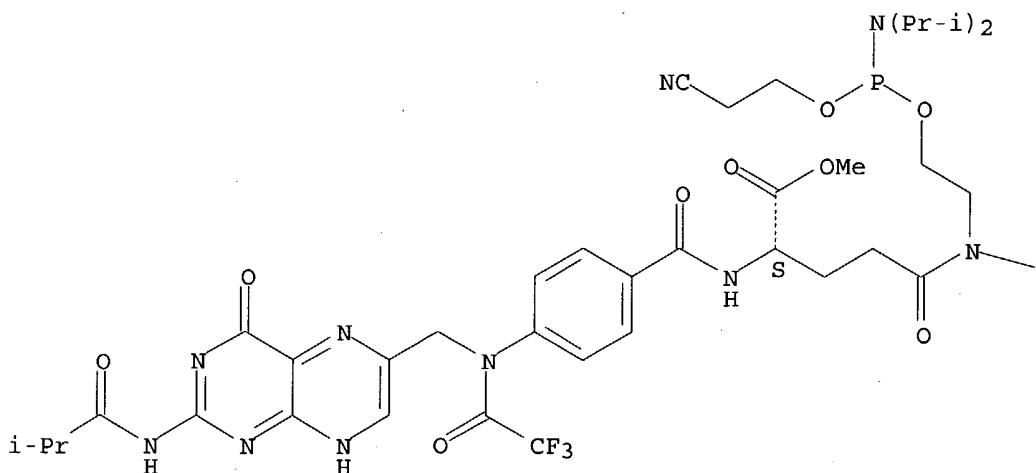
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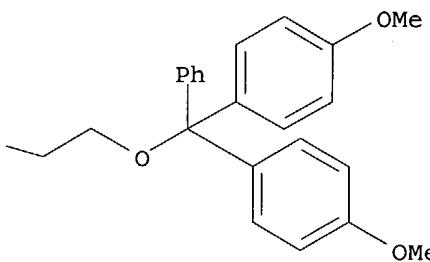
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 MF C60 H70 F3 N10 O12 P  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

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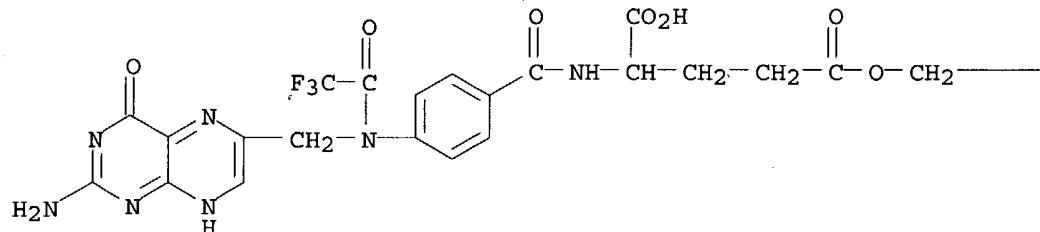
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REFERENCE 1: 136:86030

REFERENCE 2: 132:50215

L49 ANSWER 41 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 223378-84-5 REGISTRY  
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 FS 3D CONCORD  
 MF C23 H22 F3 N7 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

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PAGE 1-B

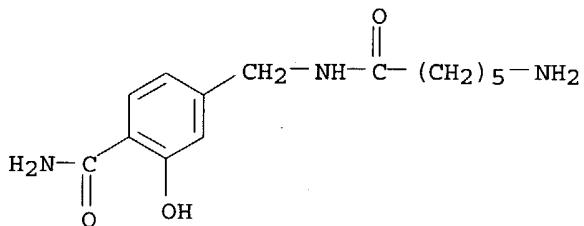
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REFERENCE 1: 130:297002

L49 ANSWER 46 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 217174-36-2 REGISTRY  
 CN Benzamide, 4-[[6-amino-1-oxohexyl)amino]methyl]-2-hydroxy- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C14 H21 N3 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: RACT (Reactant or reagent)



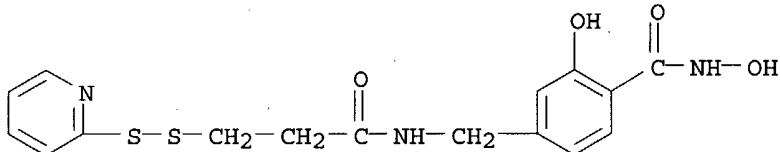
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:49525

L49 ANSWER 50 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 216066-56-7 REGISTRY

CN Benzamide, N,2-dihydroxy-4-[[[1-oxo-3-(2-pyridinylidithio)propyl]amino]methyle - (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C16 H17 N3 O4 S2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Cplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

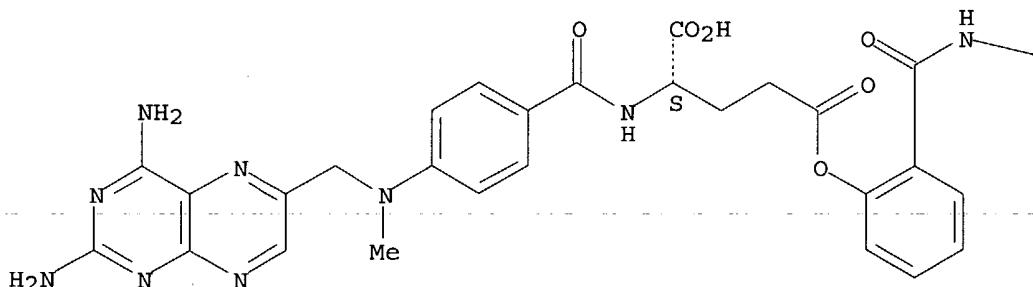
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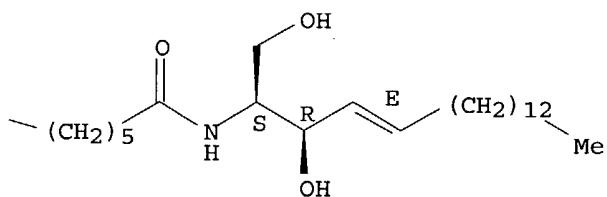
REFERENCE 1: 130:22523

L49 ANSWER 51 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 215163-90-9 REGISTRY  
 CN L-Glutamic acid, N-[4-[[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzyl]-, 5-[2-[[[6-[[[(1S,2R,3E)-2-hydroxy-1-(hydroxymethyl)-3-heptadecenyl]amino]-6-oxohexyl]amino]carbonyl]phenyl] ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C51 H72 N10 O9  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Cplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
 RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)

Absolute stereochemistry.  
 Double bond geometry as shown.

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4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:266018

REFERENCE 2: 133:168283

REFERENCE 3: 133:48947

REFERENCE 4: 129:335730

L49 ANSWER 52 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 208757-60-2 REGISTRY

CN L-Tryptophan, N-[2-(acetyloxy)benzoyl]glycyl-L-phenylalanyl-D-tryptophyl-L-leucyl-L- $\alpha$ -aspartyl-L-isoleucyl-L-isoleucyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C64 H78 N10 O14

SR CA

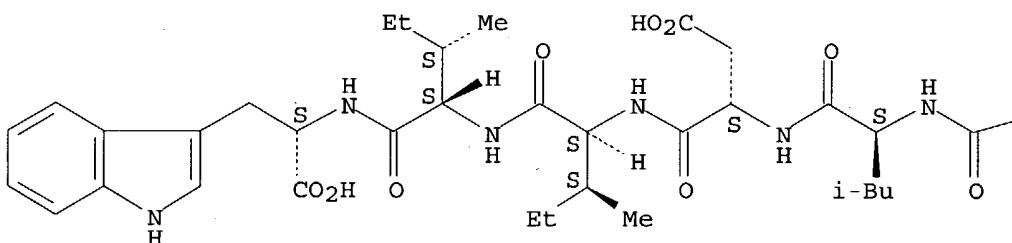
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAPplus document type: Patent

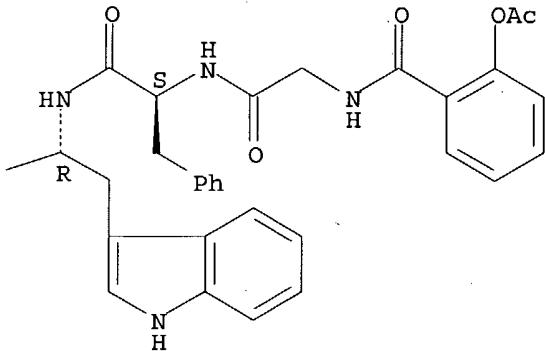
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



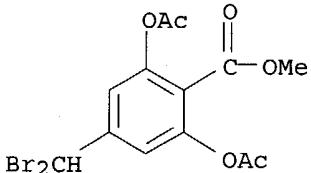
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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:54605

L49 ANSWER 53 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 203629-22-5 REGISTRY  
 CN Benzoic acid, 2,6-bis(acetyloxy)-4-(dibromomethyl)-, methyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C13 H12 Br2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: RACT (Reactant or reagent)

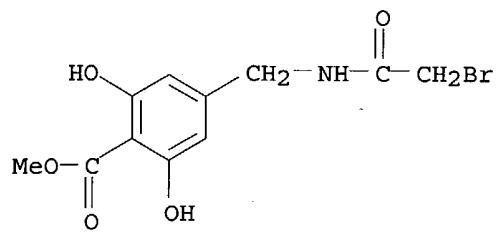


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 128:202703

L49 ANSWER 62 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 203628-99-3 REGISTRY  
 CN Benzoic acid, 4-[(bromoacetyl)amino]methyl-2,6-dihydroxy-, methyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C11 H12 Br N O5  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:22523

REFERENCE 2: 129:122456

REFERENCE 3: 128:202703

L49 ANSWER 63 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 202927-19-3 REGISTRY

CN Benzoic acid, 4-[[[5-[(2,5-dioxo-1-pyrrolidinyl)oxy]-1,5-dioxopentyl]amino]methyl]-2-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H20 N2 O8

SR CA

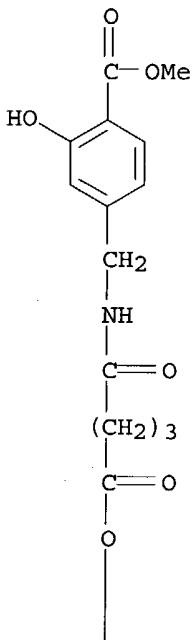
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

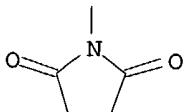
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)  
 4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:29208

REFERENCE 2: 130:49525

REFERENCE 3: 130:22523

REFERENCE 4: 128:202703

REFERENCE 5: 128:167264

L49 ANSWER 64 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 202926-72-5 REGISTRY

CN Hydrazinecarboxylic acid, 2-[5-[[[3-hydroxy-4-  
[(hydroxyamino)carbonyl]phenyl]methyl]amino]-1,5-dioxopentyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

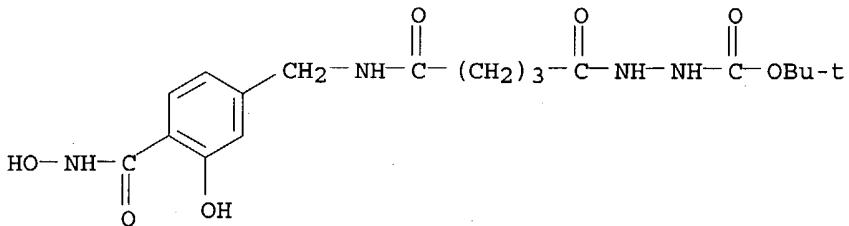
MF C18 H26 N4 O7

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:22523

REFERENCE 2: 129:122456

REFERENCE 3: 128:321564

REFERENCE 4: 128:202703

REFERENCE 5: 128:167264

L49 ANSWER 80 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 200291-45-8 REGISTRY

CN Carbamic acid, bis[2-[(4-[(bromoacetyl)amino]benzoyl)amino]ethyl]-, 1,2-ethanediylbis(oxy-2,1-ethanediyl) ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C52 H60 Br4 N10 O14

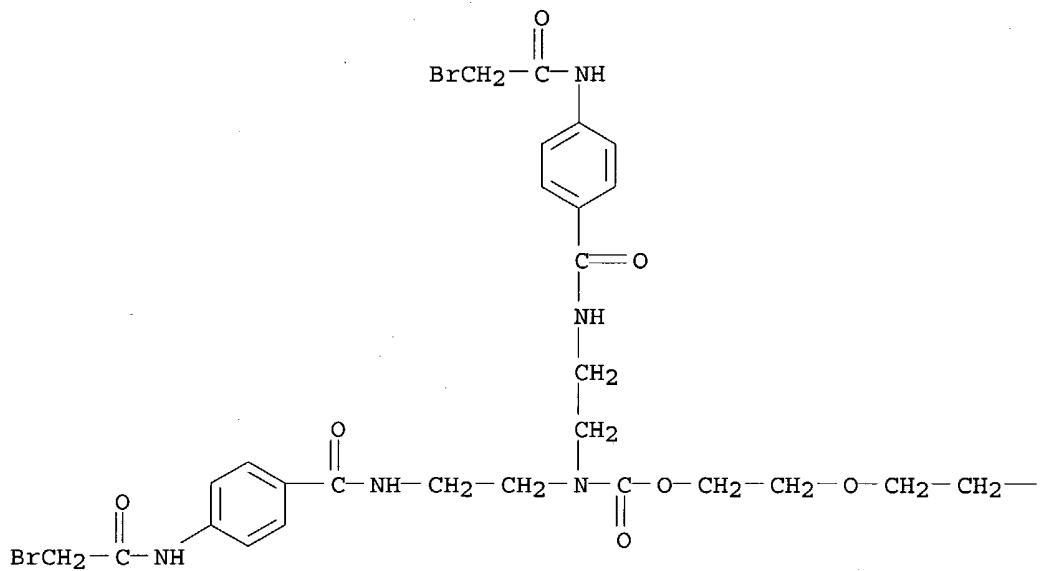
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

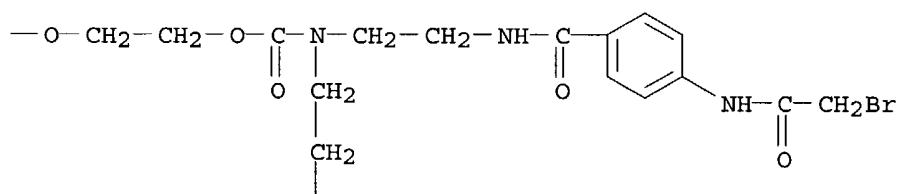
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation)

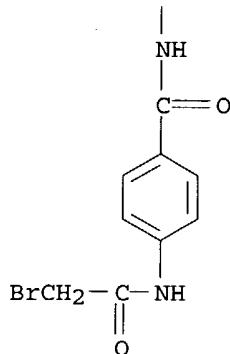
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## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 128:61804

L49 ANSWER 81 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 198830-23-8 REGISTRY

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[4-[[3-[(2S,5R,6R)-2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl]amino]-1,3-dioxo-2-phenylpropyl]amino]methyl]benzoyl]oxy]-8-methyl-, 2-methyl ester, (1R,2R,3S,5S)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[4-[[3-[(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)amino]-1,3-dioxo-2-phenylpropyl]amino]methyl]benzoyl]oxy]-8-methyl-, 2-methyl ester, [1R-[1 $\alpha$ ,2 $\alpha$ ,3 $\alpha$ (2S\*,5R\*,6R\*),5 $\alpha$ ]]-[partial]-

FS STEREOSEARCH

MF C35 H40 N4 O9 S

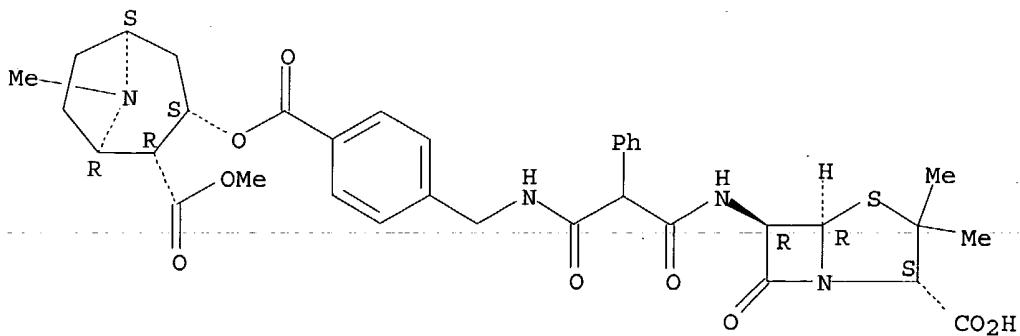
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

Absolute stereochemistry.



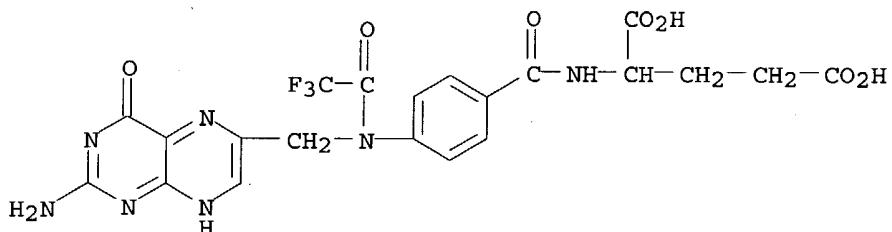
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2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:172870

REFERENCE 2: 128:359

L49 ANSWER 83 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 197151-78-3 REGISTRY  
 CN Glutamic acid, N-[4-[[[2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl](trifluoroacetyl)amino]benzoyl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C21 H18 F3 N7 O7  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Journal; Patent  
 RL.P Roles from patents: PREP (Preparation)  
 RL.NP Roles from non-patents: PREP (Preparation)



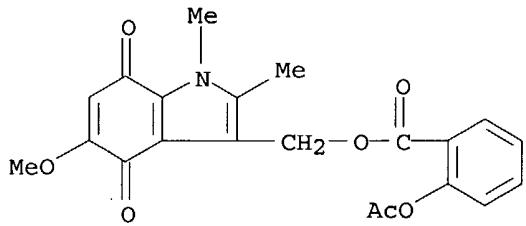
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2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:297002

REFERENCE 2: 127:293592

L49 ANSWER 84 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 192820-71-6 REGISTRY  
 CN Benzoic acid, 2-(acetyloxy)-, (4,7-dihydro-5-methoxy-1,2-dimethyl-4,7-dioxo-1H-indol-3-yl)methyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C21 H19 N O7  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER  
 DT.CA CAplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:246299

REFERENCE 2: 129:202857

REFERENCE 3: 127:121631

L49 ANSWER 85 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 186490-72-2 REGISTRY

CN Benzamide, 4-(acetylaminomethyl)-N-[2-[(4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-1,4-dioxobutyl)amino]ethyl]-1-piperazinyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H34 N6 O7

SR CA

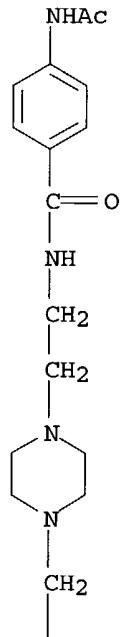
LC STN Files: CA, CAPLUS

DT.CA CAPplus document type: Patent

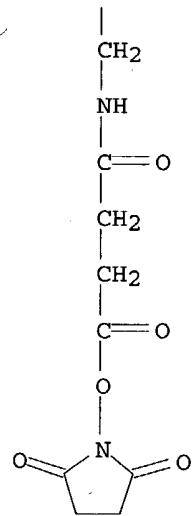
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); PREP (Preparation); USES (Uses)

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:126885

L49 ANSWER 89 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 185121-73-7 REGISTRY

CN Butanamide, N-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]phenyl]methyl]-4-[methyl[(2R,3S)-2-methyl-3-(1-oxopropoxy)-3,4-diphenylbutyl]amino]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Butanamide, N-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]phenyl]methyl]-4-[methyl[2-methyl-3-(1-oxopropoxy)-3,4-diphenylbutyl]amino]-, [S-(R\*,S\*)]-

FS STEREOSEARCH

MF C37 H43 N3 O7

SR CA

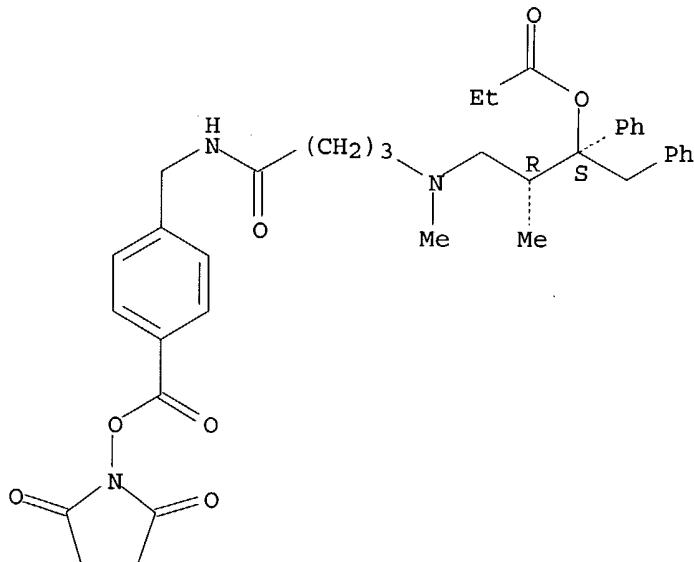
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Cplus document type: Patent

RL.P Roles from patents: ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:30811

REFERENCE 2: 126:56201

L49 ANSWER 91 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 181469-52-3 REGISTRY

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[[2-[[4-[(iodoacetyl)amino]benzoyl]amin o]ethyl]amino]carbonyl]- $\omega$ -[[2-[[4-[(iodoacetyl)amino]benzoyl]amino]ethyl]amino]oxy]- (9CI) (CA INDEX NAME)

MF (C<sub>2</sub> H<sub>4</sub> O)<sub>n</sub> C<sub>24</sub> H<sub>26</sub> I<sub>2</sub> N<sub>6</sub> O<sub>7</sub>

CI PMS

PCT Polyether

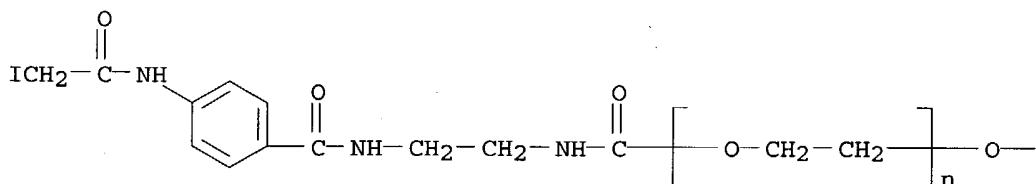
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

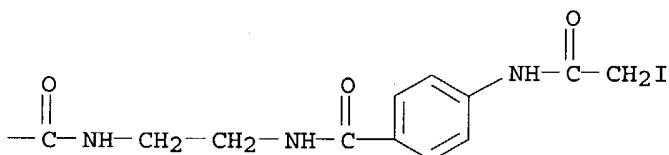
DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

PAGE 1-A



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2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:320935

REFERENCE 2: 125:219609

L49 ANSWER 92 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 177747-42-1 REGISTRY

CN Benzoic acid, 3,4-bis[[(triphenylmethyl)thio]acetyl]amino]- (9CI) (CA INDEX NAME)

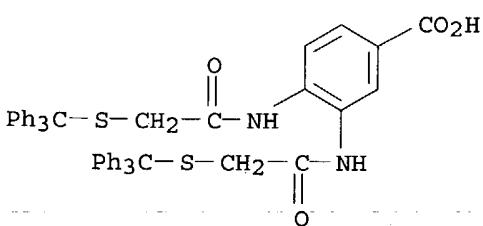
MF C49 H40 N2 O4 S2

SR CA

LC STN Files: CA, CAPLUS

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



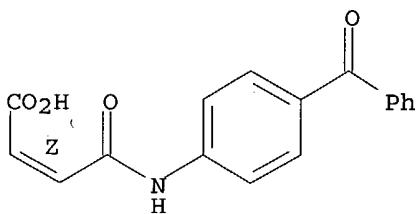
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:58999

L49 ANSWER 94 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 174603-69-1 REGISTRY  
 CN 2-Butenoic acid, 4-[(4-benzoylphenyl)amino]-4-oxo-, (Z)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C17 H13 N O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
 DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 128:213389

REFERENCE 2: 126:277361

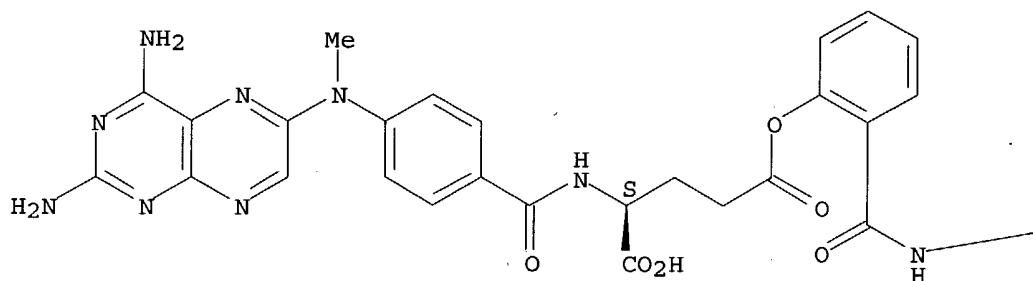
REFERENCE 3: 124:211503

L49 ANSWER 95 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 174008-70-9 REGISTRY  
 CN L-Glutamic acid, N-[4-[(2,4-diamino-6-pteridinyl)methylamino]benzoyl]-, 5-[2-[[[6-[(1S,2R,3E)-2-hydroxy-1-(hydroxymethyl)-3-heptadecenyl]amino]-6-oxohexyl]amino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN L-Glutamic acid, N-[4-[(2,4-diamino-6-pteridinyl)methylamino]benzoyl]-, 5-[2-[[[6-[(2-hydroxy-1-(hydroxymethyl)-3-heptadecenyl]amino]-6-oxohexyl]amino]carbonyl]phenyl ester, [R-[R\*,S\*- (E)]]-  
 FS STEREOSEARCH  
 MF C50 H70 N10 O9  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

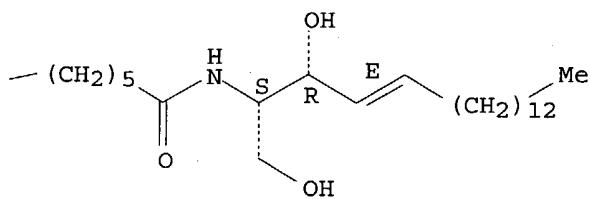
Absolute stereochemistry.

Double bond geometry as shown.

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PAGE 1-B



3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:48946

REFERENCE 2: 125:230787

REFERENCE 3: 124:185548

L49 ANSWER 96 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 170788-26-8 REGISTRY

CN 1H-Pyrrole-1-propanamide, N-[2-[[2-[[4-(acetylamino)benzoyl]amino]ethyl]ethyl]amino]ethyl]-2,5-dihydro-2,5-dioxo- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C22 H29 N5 O5

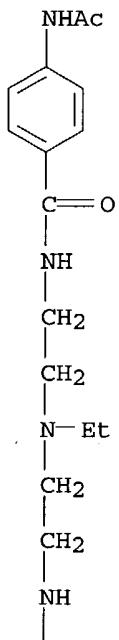
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LC STN Files: CA, CAPLUS, USPATFULL

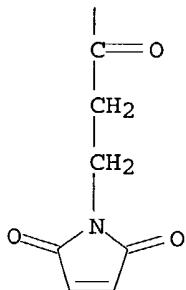
DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

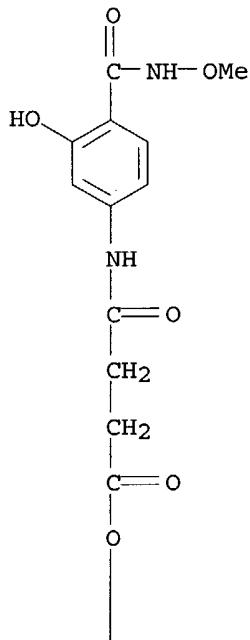
1 REFERENCES IN FILE CA (1907 TO DATE)  
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REFERENCE 1: 123:339721

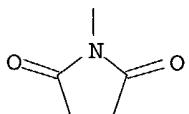
L49 ANSWER 98 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 170368-38-4 REGISTRY  
 CN Benzamide, 4-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-1,4-dioxobutyl]amino]-2-hydroxy-N-methoxy- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C16 H17 N3 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
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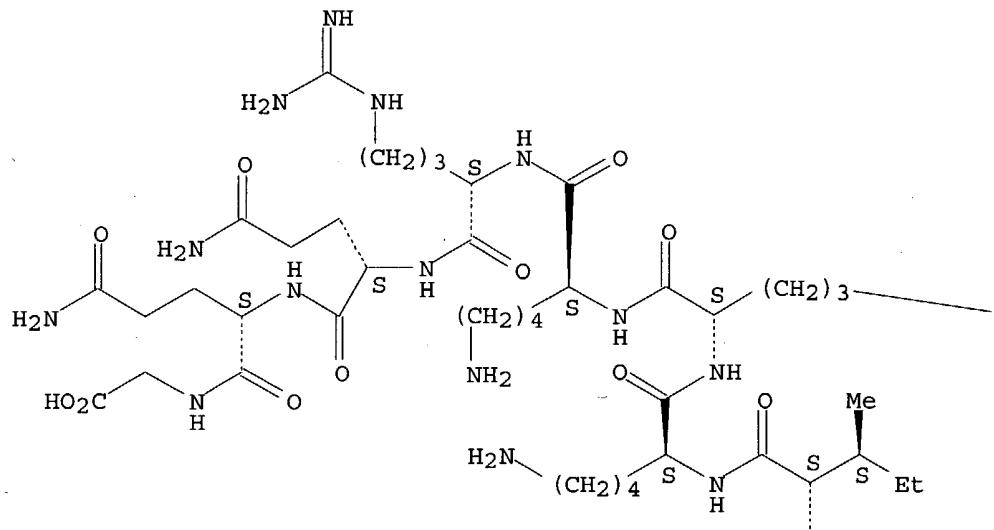
REFERENCE 1: 123:334349

L49 ANSWER 104 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 169744-34-7 REGISTRY  
 CN Poly(oxy-1,2-ethanediyl),  $\alpha,\alpha'$ -(oxydi-2,1-ethanediyl)bis[ $\omega$ -hydroxy-, 1,1'-diester with 3-[2-[[4-[[[2-(carboxyamino)ethyl]amino]carbonyl]phenyl]amino]-2-oxoethyl]dithio]-L-alanyl-L-tryptophyl-L-isoleucyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-glutaminyl-L-glutaminylglycine (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF  $(C_2H_4O)_n(C_2H_4O)_n$  C140 H222 N48 O35 S2  
 CI PMS  
 PCT Polyether  
 SR CA  
 LC STN Files: CA, CAPLUS  
 DT.CA CAPplus document type: Patent

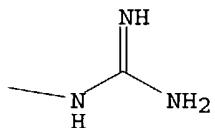
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

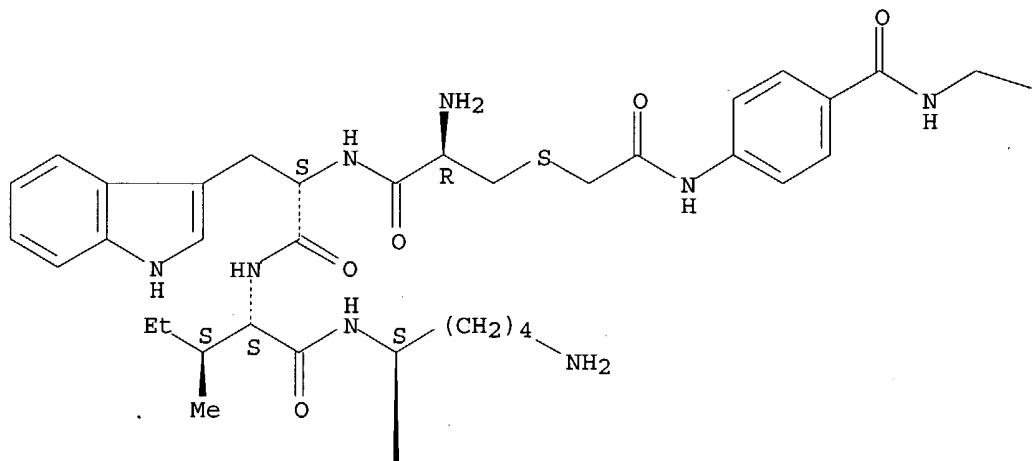
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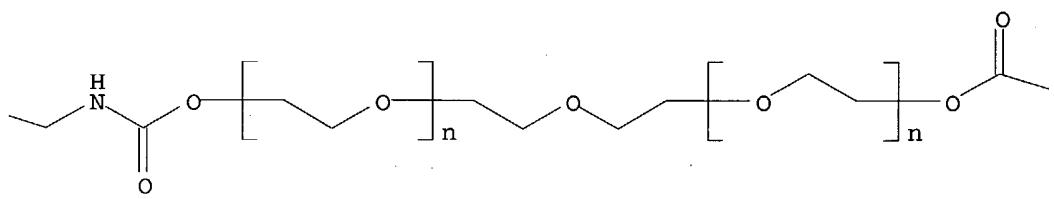
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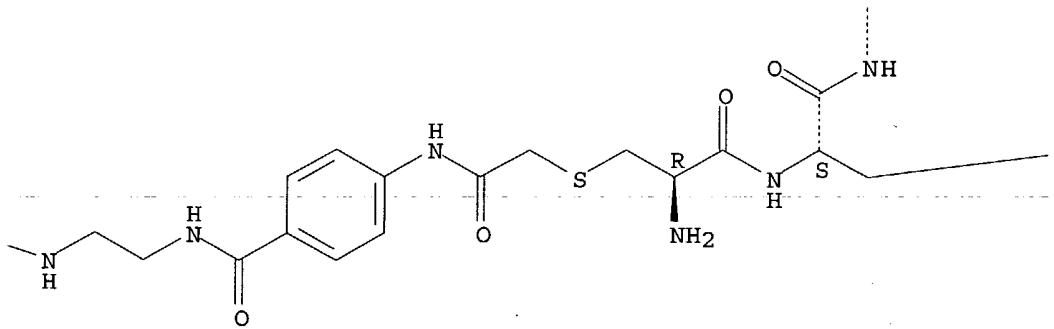
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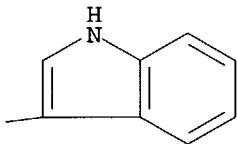
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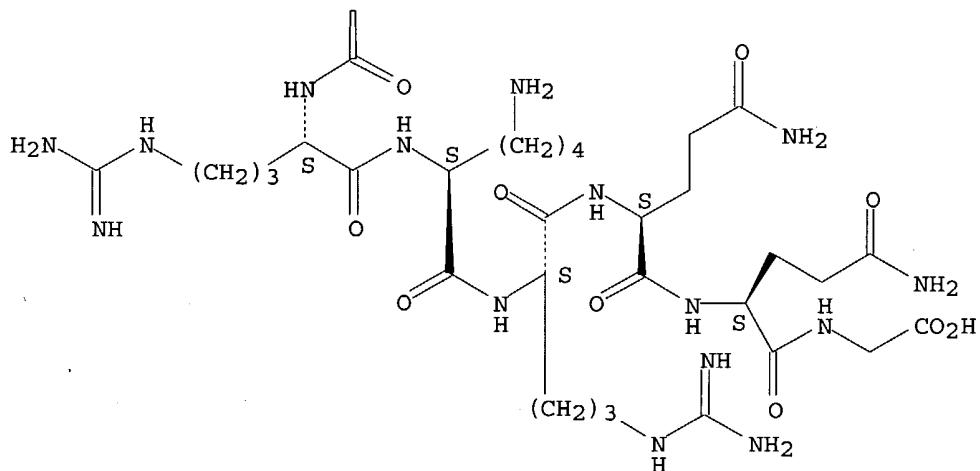
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PAGE 2-D



PAGE 3-A



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:290272

L49 ANSWER 106 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN

RN 159026-26-3 REGISTRY

CN Benzoic acid, 2-(acetoxy)-5-[[[(3 $\alpha$ ,5 $\beta$ ,12 $\alpha$ )-3,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Cholane, benzoic acid deriv.

FS STEREOSEARCH

MF C33 H47 N O7

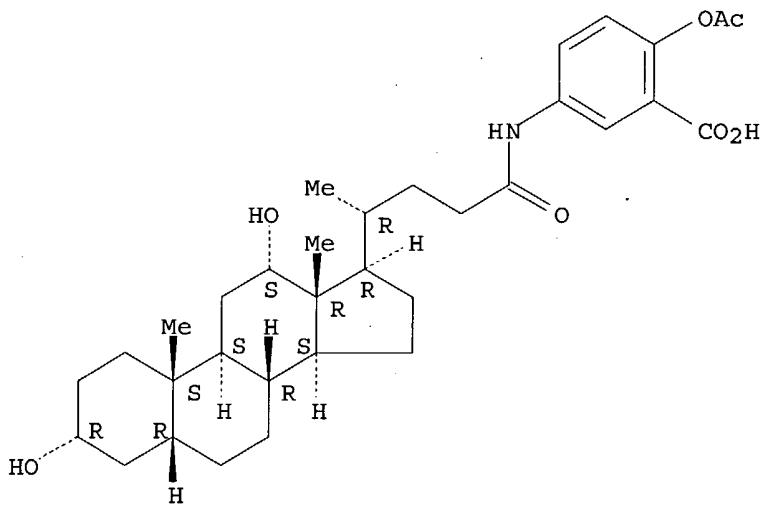
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAPplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); USES (Uses)

Absolute stereochemistry.



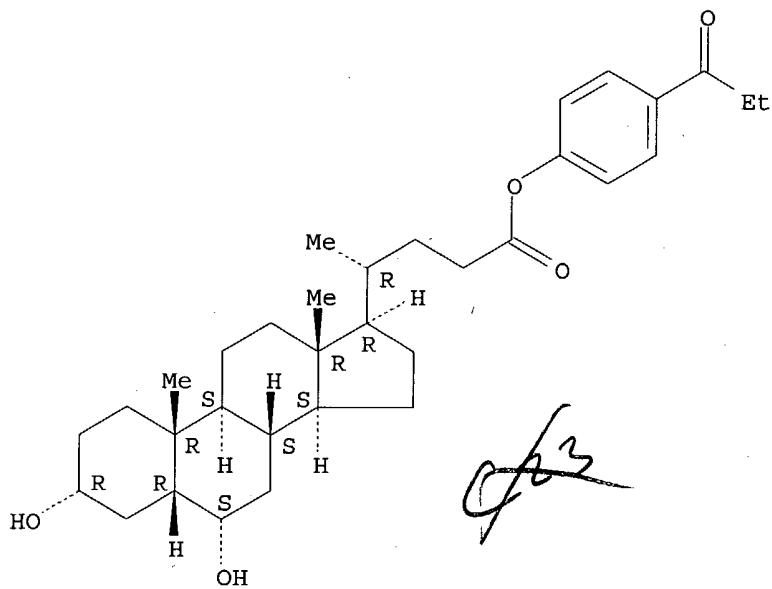
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1 REFERENCES IN FILE CA (1907 TO DATE)  
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REFERENCE 1: 121:286635

L49 ANSWER 112 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 155587-60-3 REGISTRY  
 CN Cholan-24-oic acid, 3,6-dihydroxy-, 4-(1-oxopropyl)phenyl ester,  
 (3 $\alpha$ ,5 $\beta$ ,6 $\alpha$ )- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C33 H48 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

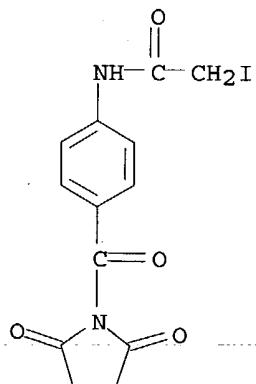


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 121:9815

L49 ANSWER 114 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 153365-71-0 REGISTRY  
 CN Acetamide, N-[4-[(2,5-dioxo-1-pyrrolidinyl)carbonyl]phenyl]-2-iodo- (9CI)  
     (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C13 H11 I N2 O4  
 SR CA  
 LC STN Files: CA, CAPLUS  
 DT.CA CAplus document type: Patent  
 RLD.P Roles for non-specific derivatives from patents: PREP (Preparation)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

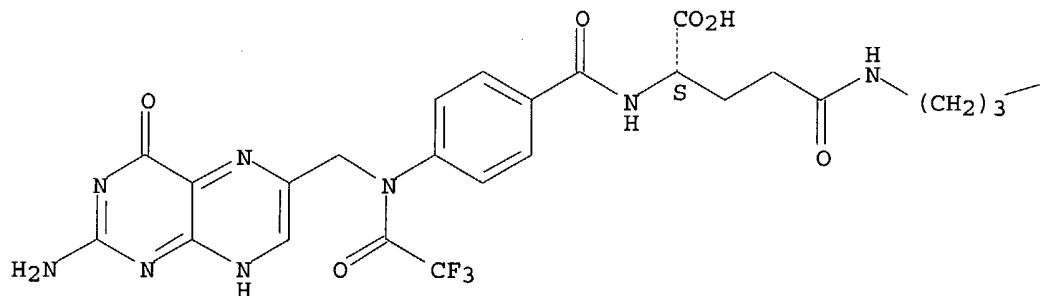
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 120:155886

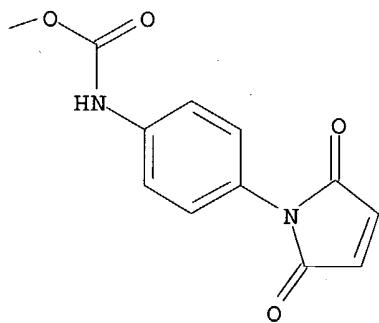
L49 ANSWER 115 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 153146-07-7 REGISTRY  
 CN L-Glutamine, N2-[4-[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl](trifluoroacetyl)amino]benzoyl]-N-[3-[[[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]amino]carbonyl]oxy]propyl]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C35 H31 F3 N10 O10  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

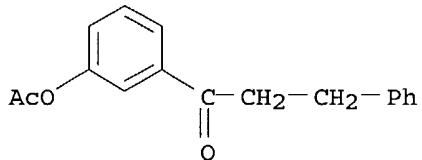


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1 REFERENCES IN FILE CA (1907 TO DATE)  
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REFERENCE 1: 120:293603

L49 ANSWER 117 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 152754-61-5 REGISTRY  
 CN 1-Propanone, 1-[3-(acetoxy)phenyl]-3-phenyl- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C17 H16 O3  
 SR CA  
 LC STN Files: CA, CAPLUS  
 DT.CA CAplus document type: Journal; Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)  
 RL.NP Roles from non-patents: PREP (Preparation)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

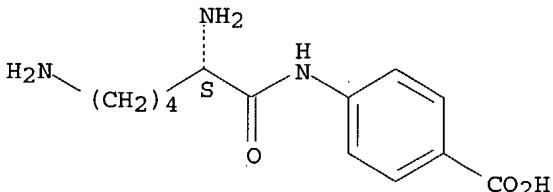
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 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209984

REFERENCE 2: 120:134099

L49 ANSWER 118 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 150502-68-4 REGISTRY  
 CN Benzoic acid, 4-[(2,6-diamino-1-oxohexyl)amino]-, (S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C13 H19 N3 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation)  
 RLD.P Roles for non-specific derivatives from patents: PREP (Preparation)

Absolute stereochemistry.



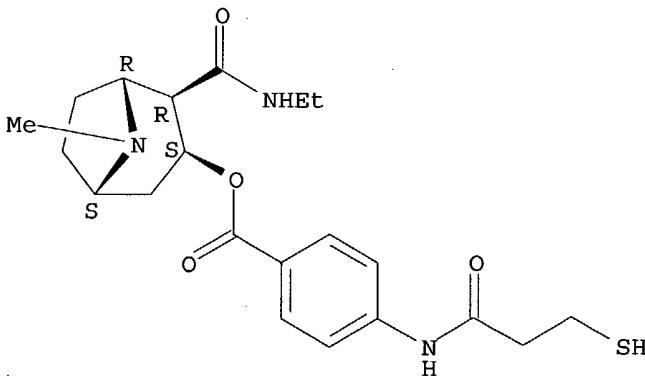
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:195659

L49 ANSWER 120 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 149864-36-8 REGISTRY  
 CN Benzoic acid, 4-[(3-mercaptopro-1-oxopropyl)amino]-, 2-[(ethylamino)carbonyl]-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H29 N3 O4 S  
 SR CA  
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 RLD.P Roles for non-specific derivatives from patents: PREP (Preparation)

Absolute stereochemistry.



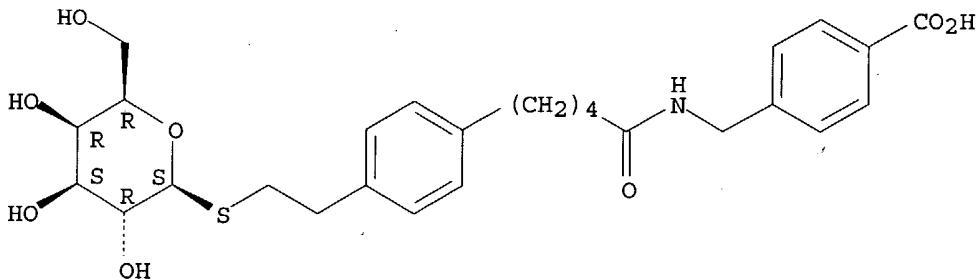
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REFERENCE 1: 119:153878

L49 ANSWER 122 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 149379-60-2 REGISTRY  
 CN Benzoic acid, 4-[[5-[4-[2-(β-D-galactopyranosylthio)ethyl]phenyl]-1-oxopentyl]amino]methyl- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C27 H35 N O8 S  
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 DT.CA Caplus document type: Patent  
 RLD.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.



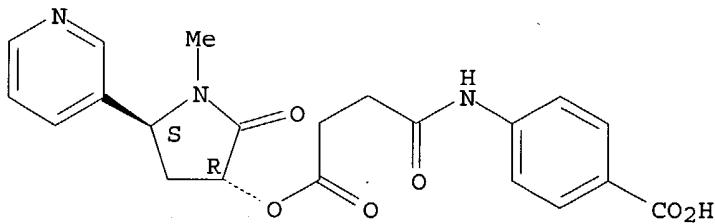
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:112953

L49 ANSWER 127 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 147451-94-3 REGISTRY  
 CN Benzoic acid, 4-[[4-[[1-methyl-2-oxo-5-(3-pyridinyl)-3-pyrrolidinyl]oxy]-1,4-dioxobutyl]amino]-, (3R-trans)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H21 N3 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)  
 RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:135303

REFERENCE 2: 118:229725

L49 ANSWER 128 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 142209-49-2 REGISTRY  
 CN 8-Azabicyclo[3.2.1]octane-2-carboxylic acid, 3-[[4-[(3-mercaptop-1-oxopropyl)amino]benzoyl]oxy]-8-methyl-, [1R-(exo,exo)]- (9CI) (CA INDEX NAME)

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 MF C19 H24 N2 O5 S  
 SR CA

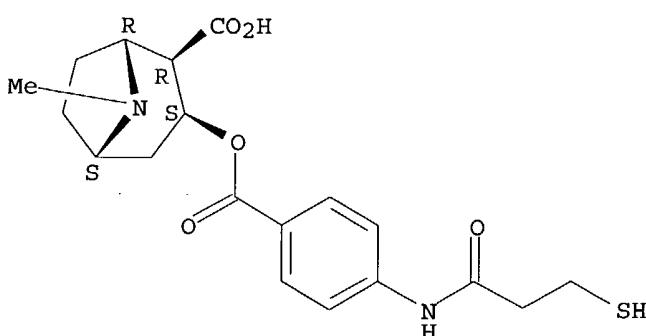
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RLD.P Roles for non-specific derivatives from patents: PREP (Preparation)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
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 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:153878

REFERENCE 2: 117:44063

L49 ANSWER 156 OF 156 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 50-78-2 REGISTRY

CN Benzoic acid, 2-(acetoxy)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-(Acetoxy)benzoic acid  
 CN 2-Acetoxybenzoic acid  
 CN 2-Carboxyphenyl acetate  
 CN A.S.A. Empirin  
 CN AC 5230  
 CN Acenterine  
 CN Acesal  
 CN Acesan  
 CN Acetard  
 CN Aceticyl  
 CN Acetilum acidulatum  
 CN Acetisal  
 CN Acetol  
 CN Acetonyl  
 CN Acetophen  
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 CN Acetyonyl  
 CN Acetysal

CN Acidum acetylsalicylicum  
 CN Acimetten  
 CN Acisal  
 CN Acylpyrin  
 CN Adiro  
 CN Albyl E  
 CN ASA  
 CN Asaflow  
 CN Asagran  
 CN Asatard  
 CN Ascoden 30  
 CN Ascolong  
 CN Ascriptin  
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 CN Asteric  
 CN Bayer  
 CN Benaspir

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for DISPLAY

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CI COM

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(\*File contains numerically searchable property data)

Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

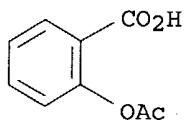
DT.CA CAplus document type: Book; Conference; Dissertation; Journal; Patent; Report

RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)

RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)

RLD.NP Roles for non-specific derivatives from non-patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); MSC (Miscellaneous); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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